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DATE: Thursday, July 19, 2007

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	L2	bile with (dextrin or \$dextrin or dextran) with (solubiliz\$ or dissolv\$)	48
	L1	bile with (dextrin or \$dextrin or dextran) with soluble	18

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         JUN 29
                  STN Express, Version 8.2, now available
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                 LEMBASE coverage updated
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                 LMEDLINE coverage updated
         JUL 02
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                 CHEMCATS accession numbers revised
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=> bile (s) (dextrin or dextrin or dextran) (s) (soluble or solubiliz or dissolv)
UNMATCHED RIGHT PARENTHESIS ')'
The number of right parentheses in a query must be equal to the
number of left parentheses.
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=> dup rem l1
PROCESSING COMPLETED FOR L1
             35 DUP REM L1 (13 DUPLICATES REMOVED)
=> e yoo seo?/au
            15
                   YOO SEO HONG/AU
E2
                   YOO SEO KOO/AU
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                   YOO SEOK DONG/AU
E12
                   YOO SEOK HYEON/AU
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=> dup rem 13
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L4 15 DUP REM L3 (0 DUPLICATES REMOVED)

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L3

=> 12 not 13

35 L2 NOT L3

=> d ibib abs 12 1-35

ANSWER 1 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

2007-440295 [42] WPIDS

CROSS REFERENCE:

2006-332021

DOC. NO. CPI:

C2007-160109 [42]

TITLE:

Ameliorating or eliminating adverse gastrointestinal effects of composition e.g. gastrointestinal necrosis, gastrointestinal apoptosis involves administering the composition and aqueous solution comprising soluble bile

acid and carbohydrate

DERWENT CLASS:

A96; B05 YOO S K

INVENTOR:

(YOOS-I) YOO S K

PATENT ASSIGNEE: COUNTRY COUNT:

PATENT INFO ABBR.:

KIND DATE PATENT NO WEEK LA PG MAIN IPC

WO 2007044062 A1 20070419 (200742)\* EN 58[3]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE WO 2007044062 A1

WO 2006-US8925 20060310

PRIORITY APPLN. INFO: US 2005-251137 20051014

2007-440295 [42] WPIDS ΑN

CR 2006-332021

WO 2007044062 A1 UPAB: 20070703 AB

> NOVELTY - Ameliorating or eliminating adverse gastrointestinal effects of a composition involves administering the composition and an aqueous solution free of precipitates or particles. The aqueous solution comprises a first material selected from bile acid, its salt and aqueous soluble derivative, and bile acid conjugated with an amine by an amide linkage; a carbohydrate selected from an aqueous soluble starch conversion product or aqueous soluble non-starch polysaccharide; and water. The first material and carbohydrate remain in solution for all pH values obtainable in an aqueous system.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for an aqueous solution free of precipitates or particles comprising the first material; the carbohydrate; a pharmaceutical compound has at least one adverse gastrointestinal effect after administration; and water.

ACTIVITY - Gastro-intestinal-Gen.; Antiulcer; Cytostatic; Antiinflammatory; Hemostatic.

Gastric hemorrhagic lesions were induced by intragastric administration of acidic ethanol A (1 ml) to rats. Aqueous solutions of bile acid (containing ursodeoxycholic acid (UDCA) (15 q) dissolved in sodium hydroxide (400 ml), maltodextrin (450 g), methyl para-hydroxybenzoate (0.95 g), sodium hydrogensulfite (0.3 g) and water (to 1 l)) or saline were given intragastically 30 minutes prior to administration of acidic ethanol to each rat. A rat (B) was administered aqueous solutions of the bile acid only. A rat (F) was administered acidic ethanol A only. A rat (I) was control and was administered saline only. The animals were killed 60 minutes after the administration of ethanol. The stomach including duodenum of each animal was then removed. The area of gastric glandular mucosal lesion was

measured. An aqueous solution of bile acid did not cause any gastro duodenal damage even at the high concentration of UDCA (15 g/l of solubilized UDCA). Acidic ethanol A induced severe hemorrhage on the entire stomach, and severe edema and vacuole on stomach and duodenum. The aqueous solution of solubilized UDCA completely protected gastro intestine from the gastro hemorrhage and duodenal edema and vacuole by the acidic alcohol. An aqueous solution containing lower concentration than solubilized UDCA of stock solution also completely protected gastro intestine from the gastro hemorrhage and duodenal edema and vacuole by absolute acidic alcohol.

MECHANISM OF ACTION - None Given.

USE - For ameliorating or eliminating adverse gastrointestinal effects e.g. gastroduodenal mucosal cell death, gastrointestinal necrosis, gastrointestinal apoptosis, gastroduodenal mucosal lesion, gastroduodenal mucosal erosion, gastroduodenal ulcer, gastrointestinal cancer, gastrointestinal bleeding, epigastralgia, gastritis, gastrointestinal redness and gastrointestinal edema on gastro duodenum (all claimed).

ADVANTAGE - The bile composition blocks toxic effect mediated by an oxidative process.

L2 ANSWER 2 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

2007-411909 [39] WPIDS

DOC. NO. CPI:

C2007-149187 [39]

TITLE:

Pharmaceutical composition for treatment of, e.g. cardiovascular disease including myocardial infarction, includes 3-biphenyl-4-yl-(2S)-((4'- trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, and water

soluble polymer

DERWENT CLASS:

INVENTOR:

A96; B05 BENJAMIN E J

PATENT ASSIGNEE:

(BENJ-I) BENJAMIN E J; (TRAN-N) TRANSTECH PHARMA INC

COUNTRY COUNT: 115

## PATENT INFO ABBR.:

PATENT NO	KIND DATE		ĿΑ	- 0	MAIN IPC
US 20070082952 WO 2007044574	A1 20070412	(200739)*	EN		

# APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION DATE	
US 20070082952 US 20070082952 US 20070082952 US 20070082952	Al Provisional Al Provisional	US 2005-724010P 20051 US 2006-756287P 20060 US 2006-758740P 20060 US 2006-544362 200610	0105 0113
WO 2007044574 A	12	WO 2006-US39243 20061	006
PRIORITY APPLN. INFO:	US 2006-544362 US 2005-724010P US 2006-756287P US 2006-758740P	20061006 20051006 20060105 20060113	
AN 2007-411909 [39]			

AB US 20070082952 A1 UPAB: 20070620

NOVELTY - A pharmaceutical composition comprises 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, and a water soluble polymer.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for:

(1) a composition comprising 3-biphenyl-4-yl-(2S)-

((4'trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid and a surfactant;

- (2) preparing a pharmaceutical composition comprising mixing 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, a water soluble polymer, water, and optionally other excipients, granulating the mixture until a uniform granulation is achieved, drying the resulting granulation, milling the dried granulations to a desired particle size, and compressing the milled granulation into a desired physical form;
- (3) synthesizing 3 -biphenyl-4-yl-(2S)-((4'- trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid comprising adding 4-carboxybenzene boronic acid to 4-bromobenzotrifluoride and Pd(PPh3)4 to generate 4'-trifluoromethyl-biphenyl-4-carboxylic acid, adding thionyl chloride to L-4,4'-biphenyl alanine in methanol to generate (2S)-amino-3-biphenyl-4-yl-propionic acid methyl ester, adding thionyl chloride to 4'-trifluoromethyl-biphenyl- 4-carboxylic acid to generate 4'-trifluoromethyl-biphenyl-4-carboxylic acid chloride, reacting (2S)-amino-3 -biphenyl-4-yl-propionic acid methyl ester with 4'-trifluoromethyl-biphenyl-4-carboxylic acid chloride to generate 3-biphenyl-4-yl-(2S)- ((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid methyl ester, and hydrolyzing 3 -biphenyl-4-yl-(2S)-((4'-trifluoromethyl- biphenyl-4-carbonyl)-amino)-propionic acid methyl ester;
- (4) inhibition of the normal biological function of factor IX comprising ingesting the pharmaceutical composition.

ACTIVITY - Cardiovascular-Gen.; Cardiant; Antiarrhythmic; Vasotropic; Cerebroprotective; Thrombolytic; Antiinflammatory; Dermatological; Immunosuppressive.

MECHANISM OF ACTION - Factor IX antagonist.

USE - Used for treatment of a factor IX-mediated disease, e.g. cardiovascular disease including myocardial infarction, arrhythmia, or aneurysm; stroke; deep vein thrombosis associated with surgical procedures, long periods of confinement, acquired or inherited pro-coagulant states including anti-phospholipid antibody syndrome, protein C deficiency and protein S deficiency, or acute and chronic inflammation including recurrent miscarriage or systemic lupus erythematosus (SLE); clotting associated with the treatment of kidney disease by hemodialysis, and/or venous hemofiltration (claimed).

ADVANTAGE - The composition has an increased dissolution rate, enhancing the bioavailability of 3-biphenyl-4-yl- (2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, particularly when dosed orally. It exhibits improved flow and compression characteristics that simplify scale-up, and has improved density, flow, shear, and/or particle size.

L2 ANSWER 3 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

2007-413633 [40] WPIDS

DOC. NO. CPI:

C2007-149931 [40]

TITLE:

Method for preparing bile acid adsorbent of beta cyclo

dextrin polymer

DERWENT CLASS:

A11; A96; B04

INVENTOR:

DENG J; FENG Y; GUO J; MENG S; ZHANG W

PATENT ASSIGNEE: (U

(UYTI-N) UNIV TIANJIN

COUNTRY COUNT:

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
CN 1891303	Δ	20070110	(200740)*	 7.H	101	

# APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE

PRIORITY APPLN. INFO: CN 2006-10013725 20060517

ΑN 2007-413633 [40] WPIDS

AΒ CN 1891303 A UPAB: 20070625

NOVELTY - This invention discloses a preparation method for bile acid sorbent of beta-ring dextrin polymer including: mixing beta-cyclo dextrin with crosslinking agent epoxy chloropropane, 1, 2 diglycol glyceryl ether or 1, 2-butylene-glycol twice-shrunk glyceryl ether, then dropping 37% thick HCL, 98% thick HNO3, 98% H2SO4 or perchloric acid in it to be mixed to get the bile acid sorbent of beta-ring dextrin polymer, or firstly dissolving the epoxy chloropropane, the 1,2-glycop twice-shrunk glyceryl ether or 1,2-butylene-glycol twi-shrunk glyceryl ether in tetrachloroethylene then dissolving the beta-ring dextrin solution in the tetrachloroethylene solution, then adding 37% HCL, 98% H2SO4 or perchloric acid in it to be reacted to get the sorbent.

ANSWER 4 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

DUPLICATE 1

ACCESSION NUMBER:

2006-423646 [43] WPIDS

DOC. NO. CPI:

C2006-133672 [43]

TITLE:

Dried form of a primary aqueous solubilized bile acid formulation, useful for treating e.g. chronic gastritis,

gall stones and hyperlipidemia, comprises a first

material (e.g. bile acid), and an aqueous soluble starch

conversion product

DERWENT CLASS:

A96; B04; B07

INVENTOR:

YOO S H

PATENT ASSIGNEE:

(YOOS-I) YOO S H

COUNTRY COUNT:

106

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG MAIN IPC WO 2006057637 A1 20060601 (200643)\* EN 80[12]

# APPLICATION DETAILS:

PATENT NO APPLICATION KTND DATE WO 2006057637 A1 WO 2004-US39507 20041124

PRIORITY APPLN. INFO: WO 2004-US39507 20041124

2006-423646 [43] WPIDS

WO 2006057637 A1 UPAB: 20060706 AB

> NOVELTY - A dried form of a primary aqueous solubilized bile acid formulation (I) comprises:

- (a) a first material (A) selected from a bile acid, aqueous soluble derivative of a bile acid, bile acid salt and/or a bile acid conjugated with an amine by an amide linkage; and
  - (b) an aqueous soluble starch conversion product (B),

where (A) and (B) both remain in solution for all pH values of the solution within a selected range of pH values.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (i) preparation of (I);
- (ii) an (I) comprising a first material selected from (A), a second material selected from (B), and a third material (C) selected from a resistant maltodextrin and an aqueous soluble non-starch polysaccharide, where the first, second, and third materials remain in solution for all pH values of the solution within a selected range of pH values;

(iii) an (I) comprising a first material selected from (A), a second material selected from (B) and/or (C), and a third material (D) selected from aqueous soluble ginseng extract and/or aqueous soluble red ginseng extract, where the first, second, and third materials remain in solution for all pH values of the solution within a selected range of pH values.

ACTIVITY - Gastrointestinal-Gen.; Antiinflammatory; Antiulcer; Hepatotropic; Litholytic; Antilipemic; Virucide; Antibacterial; Fungicide; Immunomodulator.

MECHANISM OF ACTION - Bile acids are pepsin inhibitors and nitric oxide synthase induction inhibitors.

USE - (I) is useful for treating gastrointestinal disorders (chronic gastritis, reflux gastritis and peptic ulcer disease), liver diseases (alcohol-induced liver diseases and non-alcohol-induced liver diseases including primary biliary cirrhosis, acute and chronic hepatitis, primary sclerosing cholangitis, chronic active hepatitis, and excess accumulation of fat in the liver), gall stones, hyperlipidemia, hypercholersterolemia, viral (hepatitis C virus infection, influenza A, influenza C, parainfluenza 1, sendai, rubella and pseudorabies virus), bacterial (especially Helicobacter pylori infection) and fungal diseases, chronic inflammatory diseases including bronchitis, chronic pharyngitis and chronic tonsillitis. Bile acids such as 3alpha-7beta-dihydroxy-5beta-cholanic acid have antioxidant property, act as immunomodulating agents and have membrane stabilizing effects.

ADVANTAGE - (I) remains in solution without forming a precipitate over a range of all pH values obtainable in an aqueous system. (I) may be stored or administered in a dry or solid form. (I) has improved bioavailability, plasma bioavailability and absorbability of the bile acid. (I) also provides improved bioavailability, plasma bioavailability and absorbability of one or more pharmaceutical compounds.

The bioavailability of (I) was tested using biological assays. The results showed that the observed plasma concentration of 3alpha-7beta-dihydroxy-5 beta-cholanic acid was 7.144 micrograms/ml at 20 minutes and 15 micrograms/ml at 60 minutes.

L2 ANSWER 5 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: DOC. NO. CPI:

2006-231963 [24] WPIDS C2006-076135 [24]

TITLE:

Use of berberine compound to treat or prevent hyperlipidemia and one or more symptoms of a

cardiovascular disease or conditions caused by hyperlipidemia e.g. atherosclerosis, coronary artery

disease, myocardial infarction and stroke

DERWENT CLASS:

B02

INVENTOR: PATENT ASSIGNEE:

JIANG J; KONG W; SONG D; ZHAO L; LIU J; PAN H; WEI J (BIOT-N) BIOTECH INST CHINESE ACAD MEDICAL SCI; (MEDI-N) INST MEDICINAL BIOTECHNOLOGY CHINESE ACA; (JIAN-I) JIANG

J; (KONG-I) KONG W; (SONG-I) SONG D; (WEIJ-I) WEI J;

(ZHAO-I) ZHAO L

COUNTRY COUNT:

111

# PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK LA	 MAIN IPC
WO 2006029577 CN 1759834 US 20060223838	A1 20060323 A 20060419	(200624)* EN (200661) ZH	 
EP 1796666	A1 20070620	(200741) EN	

## APPLICATION DETAILS:

PATENT NO KIND

APPLICATION DATE

WO 2006029577 A1 WO 2005-CN1489 20050919
CN 1759834 A CN 2004-10095066 20041123
US 20060223838 A1 US 2005-229339 20050916
EP 1796666 A1 EP 2005-791957 20050919
EP 1796666 A1 WO 2005-CN1489 20050919

## FILING DETAILS:

PRIORITY APPLN. INFO: CN 2004-10095066 20041123 CN 2004-10078150 20040917

AN 2006-231963 [24] WPIDS

AB WO 2006029577 A1 UPAB: 20060410

NOVELTY - Prevention or treatment of hyperlipidemia or one or more symptoms of a cardiovascular disease or condition caused by hyperlipidemia in a mammal comprises administration of a berberine compound or berberine related or derivative compound (I) or its salt, isomer, enantiomer, solvate, hydrate, polymorph or prodrug.

DETAILED DESCRIPTION - Prevention or treatment of hyperlipidemia or one or more symptoms of a cardiovascular disease or condition caused by hyperlipidemia in a mammal comprises administration of a berberine compound or berberine related or derivative compound of formula (I) or its salt, isomer, enantiomer, solvate, hydrate, polymorph or prodrug.

R1-R4, R8-R13 = H, halo, OH, alkyl, alkoxy, nitro, amino, CF3, cycloalkyl, (cycloalkyl)alkyl, alkanoyl, alkanoyloxy, aryl, aroyl, aralkyl, nitrile, dialkylamino, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, (di)alkylaminoalkyl, haloalkyl, carboxyalkyl, alkoxyalkyl, carboxy, alkanoylamino, carbamoyl, carbamyl, carbonylamino, alkylsulfonylamino or heterocyclo groups.

INDEPENDENT CLAIMS are also included for:

- a method of controlling hyperlipidemia in a mammal to reduce or prevent cardiovascular disease comprising administering (I);
- (2) a composition for preventing or alleviating hyperlipidemia in a mammal comprising (I);
- (3) a composition (A) for treating or preventing hyperlipidemia in a mammal comprising (I) and a second anti-hyperlipidemic agent or other adjunctive therapeutic agents useful in the treatment of a cardiovascular disease; and
- (4) a method of modulating liver low-density protein receptor (LDLR) expression, modulating extracellular signal-regulated kinase (ERK) activation, lowering cholesterol or increasing LDLR stability or expression in a mammalian cell, tissue, organ or an individual comprising administering (I).

ACTIVITY - Antilipemic; Cardiovascular-Gen; Analgesic; Antiarteriosclerotic; Cardiant; Vasotropic; Antianginal; Cerebroprotective; Ophthalmological; Auditory.

The ability of (I) to treat hyperlipidemia was assessed using human patients. The results showed that berberine did not change kidney functions, but substantially improved liver function-reducing levels of alanine aminotransaminase, aspartate aminotransaminase and gamma glutamyl transpeptidase by approximately 48%, 36% and 41% respectively.

MECHANISM OF ACTION - Liver low-density protein receptor expression modulator; Extracellular signal-regulated kinase (ERK) activation modulator.

USE - (I) Is useful to prevent or treat hyperlipidemia and one or more symptoms of a cardiovascular disease (shortness of breath, chest pain, leg pain, tiredness, confusion, vision changes, blood in urine, nosebleeds, irregular heartbeat, loss of balance or coordination, weakness and/or vertigo) or condition caused by hyperlipidemia such as

atherosclerosis, coronary artery disease, angina pectoris, carotid artery disease, stroke, cerebral arteriosclerosis, myocardial infarction, cerebral infarction, restenosis following balloon angioplasty, high blood pressure, intermittent claudication, dyslipidemia post-prandial lipidemia or xanthoma in a mammal (mammalian cell or cell culture, mammalian tissue or tissue explant, mammalian organ or organ explant or mammalian individual), where the hyperlipidemia is associated with primary or secondary hyperlipidemia; familial hyperchylomicronemia, familial hypercholesterolemia, familial combined hyperlipidemia, familial dysbetaliproteinemia, familial hypertriglyceridemia, familial defective apolipoprotein B-100, diabetes mellitus, hypothyroidism, uremia, nephrotic syndrome, acromegaly, obstructive liver disease, dysproteinemia; prior or current use of oral contraceptives, glucocorticoids or antihypertenstive; or adverse dietary habits (claimed).

ADVANTAGE - (A) Effectively treats and prevents hyperlipidemia or elevated cholesterol. (I) With the secondary or adjunctive therapeutic agent yields improved therapeutic or prophylactic results.

L2 ANSWER 6 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

2006-659362 [68] WPIDS 2005-496434; 2006-271253

CROSS REFERENCE: DOC. NO. CPI:

C2006-201711 [68]

DOC. NO. NON-CPI: TITLE:

N2006-528438 [68]

Method of administration of glucose-regulating peptide useful for treating metabolic disease e.g. diabetes mellitus, involves intranasal administration of

transmucosal glucose-regulating formulation comprising

exendin-4

DERWENT CLASS:

A96; B04; P32

INVENTOR:

COSTANTINO H R; LEONARD A K; QUAY S C

PATENT ASSIGNEE:

(NAST-N) NASTECH PHARM CO INC

COUNTRY COUNT:

# PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA PG	MAIN IPC
	<del></del>		- <b>-</b>	
115 20060210614	71 20060	921 /200669	\ + EN EE[1	1

# APPLICATION DETAILS:

PATENT N	O KIND	AP1	PLICATION	DATE
US 20060	0210614 A1 Pro 0210614 A1 CIP 0210614 A1 Con	of US	2003-5323371 2004-991597 2005-293715	20041118
	210614 A1		2006-418982	
PRIORITY APPLN	US 20 US 20	03-532337P 200 04-991597 200	060504 031226 041118 051202	•
AN 2006-6593	62 [68] WPI		<del></del>	

CR 2005-496434; 2006-271253

AB US 20060210614 A1 UPAB: 20061023

NOVELTY - A method of administration of glucose-regulating peptide involves intranasal administration of a transmucosal glucose-regulating formulation (F1) comprising exendin-4.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) treatment of metabolic disease involves administering intranasally delivery of an exenatide formulation (F2), comprising an aqueous mixture of exendin (preferably exendin-4), solubilizing agent,

chelating agent, and surface active agent; and

(2) a pharmaceutical formulation (F3) for intranasal administration of a glucose-regulating peptide formulation to a mammal, comprising exendin-4, and delivery enhancer selected from chelator, solubilizer and surfactant.

ACTIVITY - Metabolic; Antidiabetic; Antilipemic; Anorectic; MECHANISM OF ACTION - None given.

USE - For administration of glucose-regulating peptide for treating metabolic disease (claimed) including diabetes mellitus, hyperglycemia, dyslipidemia, obesity, to induce satiety in an individual and to promote weight loss.

ADVANTAGE - The glucose-regulating peptide in the transmucosal glucose-regulating peptide formulation has bioavailability of at least 10% when administered intranasally to a mammal. The time to maximal concentration in circulation of the animal, Tmax, is less than 45 (preferably 30) minutes. The exendin-4 formulation has a viscosity of 1.5 - 10 cps. The bioavailability of exendin is at least 1 (preferably 5, especially 10)% relative to a delivery by subcutaneous injection.

ANSWER 7 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2006-038731 [04] WPIDS

C2006-014020 [04]

TITLE:

New formulation comprising a mixture of parathyroid

hormone (PTH) and an enhancer, useful for delivering PTH

across a mucosal cellular layer and for treating

osteoporosis or osteopenia

DERWENT CLASS:

DOC. NO. CPI:

INVENTOR:

A96; B04; P34

BRANDT G; COSTANTINO H R; KLEPPE M S; KWOK C S; LI C; LI

C Y; QUAY S C; COSTANTINO H; KLEPPE M; QUAY S

PATENT ASSIGNEE:

(NAST-N) NASTECH PHARM CO INC

COUNTRY COUNT:

110

# PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
WO 200511544	A2 20051208 A1 20051215 D5 A1 20060309 D6 A1 20060309 D7 A1 20060615 A1 20060824	(200604) * (200604) (200618) (200618) (200640) (200656) (200714)	EN EN EN EN EN EN EN	87[0]	
AU 200524736	A1 20051208	(200731)	EN		

#### APPLICATION DETAILS:

PA	TENT NO	KIND	API	PLICATION	DATE
WO	2005115441 A2	2	WO	2005-US16530	20050510
US	20050276843	Al Provisional	US	2004-5701131	20040510
US	20060052305 7	Al Provisional	US	2004-5701131	20040510
·US	20060052306	Al Provisional	US	2004-5701131	20040510
US	20060127320 7	Al Provisional	US	2004-5701131	20040510
US	20060189533	Al Provisional	US	2004-5701131	20040510
ΕP	1750756 A2		ΕP	2005-780065	20050510
US	20050276843	A1	US	2005-126996	20050510
US	20060052305 7	Al CIP of	US	2005-126996	20050510
US	20060052306 7	Al CIP of	US	2005-126996	20050510
US	20060127320 7	Al CIP of	US	2005-126996	20050510
US	20060189533 7	Al CIP of	US	2005-126996	20050510
NO	2006005673 A		WO	2005-US16530	20050510

ΕP	1750756 A2			•		٠.	WO	2005-US16530 20050510
US	20060052305 A1	•					US	2005-246406 20051006
US	20060189533 A1	CIP	of				US	2005-246406 20051006
US	20060052306 A1						US	2005-246450 20051006
US	20060189533 A1	CIP	of				US	2005-246450 20051006
US	20060127320 A1						US	2006-347551 20060203
US	20060189533 A1	CIP	of		• •		US	2006-347554 20060203
US	20060189533 A1						US	2006-390940 20060327
NO	2006005673 A						NO	2006-5673 20061208
ΑU	2005247369 A1						ΑU	2005-247369 20050510

#### FILING DETAILS:

	PATENT NO			KIND PATENT NO						
	EP	1750756	5	A2	Based	 on	WO	2005115441	 A	
	AU	2005247	7369	<b>A</b> 1	Based	on	WO	2005115441	Α	
PRIOR	ITY.	APPLN.	INFO:	US	2004-5701	13P	2004	10510	•	
				US	2005-1269	96	2005	0510		
				US	2005-2464	06	2005	51006		
				US	2005-2464	50	2005	1006		
				US	2006-3475	51	2006	50203		
				US	2006-3475	54	2006	50203		
				US	2006-3909	40	2006	50327		
71 NT -	2006	020721	1041	τ.	AD T D C					

AN 2006-038731 [04] WPIDS

AB WO 2005115441 A2 UPAB: 20060116

NOVELTY - A formulation, for delivery of parathyroid hormone (PTH) across a mucosal cellular layer, comprising a mixture of PTH and an enhancer, where the enhancer is capable of modulating the barrier function of a cellular tight junction, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

- (1) delivering PTH to a human;
- (2) treating osteoporosis or osteopenia in a human; and
- (3) a pharmaceutical composition product comprising:
- (a) an aqueous solution of a PTH peptide, present in a container, at a concentration to produce therapeutical plasma concentrations;
  - (b) a container into which the composition is placed; and
- (c) an actuator fluidly connected to the container able to produce an aerosol which sprays out of a tip of the solution when actuated, where the aerosol has a spray pattern ellipticity ratio of 1.00-1.40, a spray pattern major and minor axes of 10-50 mm when measured at a height of 0.5-10 cm distance from the actuator tip, where the aerosol is comprised of droplets of the PTH solution and less than 10% of the droplets are smaller than 10 microns in size, or where the droplets are 25-700 microns in size.

ACTIVITY - Osteopathic.

No biological data given.

MECHANISM OF ACTION - None Given.

USE - The formulation, composition and method are useful for delivering PTH across a mucosal cellular layer, and for treating osteoporosis or osteopenia.

L2 ANSWER 8 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN ACCESSION NUMBER: 2005-796807 [81] WPIDS

DOC. NO. CPI: C2005-245533 [81]

TITLE: Oral dosage form, useful for increasing the intestinal

absorption of a drug (poorly absorbable in the

intestine), comprises a drug; an enhancer; a promoter; and optionally, a protector

DERWENT CLASS: A96; B05; B07

INVENTOR: CHO S; CHOI S; CHO S W; CHOI S H

PATENT ASSIGNEE: (CHOS-I) CHO S; (CHOI-I) CHOI S; (PROC-N) PROCARRIER INC;

(CHOI-I) CHOI S H

COUNTRY COUNT:

109

## PATENT INFO ABBR :

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
WO 2005105050 US 20060088592		,		44[7]	
KR 2005104152		•	KO		
EP 1744731 AU 2005237580	A1 20070124 A1 20051110	, = ,	EN EN		

## APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2005105050 A1 KR 2005104152 A US 20060088592 A1 EP 1744731 A1 EP 1744731 A1 AU 2005237580 A1	WO 2005-US14409 20050428 KR 2004-29465 20040428 US 2004-973644 20041025 EP 2005-756425 20050428 WO 2005-US14409 20050428 AU 2005-237580 20050428

#### FILING DETAILS:

PATENT NO	KIND			TENT NO	
EP 1744731		Based on		2005105050	
AU 2005237580	A1	Based on	WO	2005105050	Α

PRIORITY APPLN. INFO: US 2004-973644 20041025 KR 2004-29465 20040428

AN 2005-796807 [81] WPIDS

AB WO 2005105050 A1 UPAB: 20060125

NOVELTY - Dosage form (A) for oral delivery of a drug (poorly absorbable in the intestine), comprises a drug; an enhancer for increasing absorption of the drug through the intestinal mucosa; a promoter for functioning synergistically with the enhancer for further increasing absorption of the drug through the intestinal mucosa; and optionally, a protector for reducing/inhibiting decomposition or inactivation of the drug in the gastrointestinal tract.

USE - (A) is useful for increasing intestinal absorption of a poorly absorbable drug (comprising a peptide or protein, an aminoglycoside antibiotic or a hydrophilic or amphipathic drug, preferably insulin, human growth hormone, calcitonin, isepamicin, netilmicin, teicoplanin, catechin, aztreonam or paclitaxel) (claimed). The ability of (A) to increase intestinal absorption of recombinant human growth hormone (rhGH) was tested in male Sprague Dawley rats. The results showed that (A) significantly improved intraduodenal absorption of rhGH without modification of the drug.

ADVANTAGE - (A) is not only useful for increasing the intestinal absorption of the drug, but also simultaneously reduces or inhibits decomposition or inactivation of the drugs (claimed) due to physical and/or chemical factors. The protectors minimize aggregation by reducing the adsorption of drugs at interfaces, thus improves the physical stability of the drug.

L2 ANSWER 9 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: 2007-025563 [03] WPIDS CROSS REFERENCE: 2005-563668; 2007-131828

DOC. NO. CPI: C2007-009341 [03]

TITLE: New azabicyclic heterocycle compound including all

prodrugs, salts and stereoisomers useful for treating cannabinoid receptor mediated disease or disorder, e.g.

Alzheimer's disease and Parkinson's disease

DERWENT CLASS:

INVENTOR:

B02

ELLSWORTH B; ELLSWORTH B A; EWING W; EWING W R; GERRITZ S; GU Z; HUANG Y; JOHNSON S; JOHNSON S R; MIKKILINENI A; MIKKILINENI A B; MIKKLINENI A B; MURUGESAN N; PENDRI A; SHER P; SHER P M; SITKOFF D; SUN C; WANG Y; WU G; WU X; YU G; HUARG Y

PATENT ASSIGNEE:

(BRIM-C) BRISTOL-MYERS SQUIBB CO

COUNTRY COUNT: 10

# PATENT INFO ABBR.:

PATENT NO	KIN	D DATE	WEEK	LA	PG	MAIN I	PC
WO 2005063761	A1	20050714	(200703)*	EN	-220[0]		
EP 1697370	<b>A</b> 1	20060906	(200703)	EN			
NO 2006002704	Α	20060905	(200703)	NO			
AU 2004309365	A1	20050714	(200707)	EN			
MX 2006006473	A1	20060801	(200707)	ES			•
BR 2004017771	Α	20070417	(200729)	PT			
EP 1697370	В1	20070425	(200730)	EN			
JP 2007514768	W	20070607	(200739)	JA	174		
DE 60200400616	5 E	20070606	(200741)	DE			

## APPLICATION DETAILS:

PAT	TENT NO	KIND	API	PLICATION	DATE
WO	2005063761	A1	wo	2004-US42820	20041217
AU	2004309365	A1	ΑU	2004-309365	20041217
BR	2004017771	A	BR	2004-17771 2	20041217
EP	1697370 A1		ΕP	2004-814952	20041217
EΡ	1697370 B1		ΕP	2004-814952	20041217
EΡ	1697370 A1		WO	2004-US42820	20041217
ИО	2006002704	A	WO	2004-US42820	20041217
MΧ	2006006473	A1	WO	2004-US42820	20041217
BR	2004017771	A	WO	2004-US42820	20041217
EΡ	1697370 B1		WO	2004-US42820	20041217
JP	2007514768	W	WO	2004-US42820	20041217
JP	2007514768	W	JP	2006-545558	20041217
MX	2006006473	A1	MX	2006-6473 20	0060607
NO	2006002704	A	NO	2006-2704 20	0060612
DE	60200400616	65 E	DE	2004-6020040	006165 20041217
DE	60200400616	65 E	ΕP	2004-814952	20041217
DE	60200400616	65 E	WO	2004-US42820	20041217

## FILING DETAILS:

PATENT NO	KIND	PAT	ENT NO	
EP 1697370 AU 2004309365 MX 2006006473 BR 2004017771 EP 1697370 JP 2007514768	Al Based Al Based Al Based Al Based Based Based Bl Based W Based	on WO on WO on WO on WO	2005063761 2005063761 2005063761 2005063761 2005063761 2005063761	- A A A A A
DE 602004006165 DE 602004006165	E Based	on EP	1697370 2005063761	A A

PRIORITY APPLN. INFO: US 2004-16135 20041217 US 2003-531451P 20031219

AN 2007-025563 [03] WPIDS

CR 2005-563668; 2007-131828

ΑB WO 2005063761 A1 UPAB: 20070112

> NOVELTY - Azabicyclic heterocycle compounds (I) including all prodrugs, salts and stereoisomers are new.

DETAILED DESCRIPTION - Azabicyclic heterocycle compounds of formula (I) including all prodrugs, salts and stereoisomers are new.

n=a single bond or double bond;

R1, R2= e.g. halo, CN, alkyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, aryloxy, heteroaryloxy, -NR8R9 or -OS (O) mNR8R9;

R3= e.g. alky or heteroarylalkyl;

R6= e.g. H or heteroarylalkyl;

R7= e.g. absent when n is double bond; or H or -S(0) mR8 when n is single bond;

R8, R9= e.g. H or alkyl; and

m=1 or 2.

R8 and R9 taken together can optionally form a, 4, 5, 6, or 7membered heterocyclyl ring or a 5 or 6-membered heteroaryl ring.

Full definitions are given in the Definitions Field (Full Definitions).

INDEPENDENT CLAIMS are also included for:

- (1) a pharmaceutical composition, comprising azabicyclic heterocycle compound(s) of formula (I); and a diluent or carrier;
- (2) a method of treating a cannabinoid receptor mediated disease or disorder, comprising administering to a patient in need of treatment an amount of the above compound (I); ,
- (3) a pharmaceutical combination, comprising the pharmaceutical composition; and therapeutic agent from anti-obesity agents, appetite suppressants, anti-diabetic agents, anti-hyperlipidemia agents, hypolipidemic agents, hypocholesterolemic agents, lipid-modulating agents, cholesterol-lowering agents, lipid-lowering agents, HDL-raising agent, anti-hypertensive agents, agents used to treat sleep disorders, agents used to treat substance abuse and addictive disorders, anti-anxiety agents, anti-depressants, anti-psychotic agents, cognition enhancing agents, agents used to treat cognitive disorders, agents used to treat Alzheimer's disease, agents used to treat Parkinson's disease, anti-inflammatory agents, agents used to treat neurodegeneration, agents used to treat arteriosclerosis, agents used to treat respiratory conditions, agents used to treat bowel disorders, cardiac glycosides, or anti-tumor agents; and
- (4) a method for improvement of cognitive function and memory impairment.

ACTIVITY - Eating-Disorders-Gen; Anorectic; Metabolic; Antidiabetic; Antiarteriosclerotic; Hypotensive; Antiinfertility; Gynecological; Cardiovascular-Gen; Antiarthritic; Osteopathic; Dermatological; Antilipemic; Hypnotic; Nootropic; Antiinflammatory; CNS-Gen; Endocrine-Gen; Neuroleptic; Vasotropic; Immunosuppressive; Antidepressant; Tranquilizer; Antimanic; Neuroprotective; Antiparkinsonian; Hypertensive; Respiratory-Gen; Cardiant; Antiarthritic; Antirheumatic; Gastrointestinal-Gen; Antipsoriatic; Antiasthmatic; Thyromimetic; Cytostatic; Antiallergic; Vulnerary.

MECHANISM OF ACTION - Cannabinoid receptor modulator; CB-1 receptor antagonist or inverse agonist. Radioligand binding studies were conducted in membranes prepared from Chinese Hamster Ovary (CHO) cells that over-express recombinant human CB-1 (CHO-CB-1 cells). Total assay volume for the binding studies was 100 mul. The membranes (5 micrograms) were brought up to a final volume of 95 mul with binding buffer (25 mM). The diluted membranes were preincubated with a compound or dimethylsulfoxide (DMSO) vehicle. The binding reaction was initiated by the addition of 2 nMfinal 3H-CO-55,940 (120 Ci/mmol) and proceeded for 2.5 hours at room temperature. The binding reaction was terminated by transferring the reaction to GF/B 96 well plates (presoaked with 0.3% polyethylenimine).

The bound radiolabel was quantitated by scintillation counting. The CB-2 radioligand binding assay was conducted identically except that the membranes from CHO-CB-2 cells were used. The compound (I) possessed a CB-1 receptor binding affinity of  $0.01-10000~\rm nM$ .

USE - (I) are used for treating a cannabinoid receptor mediated disease or disorder. They are used to treat dementia, Alzheimer's disease, short term memory loss and attention deficit disorders; neurodegenerative disorders, Parkinson's Disease, cerebral apoplexy and craniocerebral trauma; hypotension, endotoxin-induced hypotension; Huntington's disease; Pick's disease; Creutzfeldt-Jakob disease; head trauma; and age-related cognitive decline. (I) are used to treat diseases associated with dysfunction of brain dopaminergic systems e.g. substance abuse disorders, diseases from catabolism in connection with pulmonary dysfunction and ventilator dependency; cardiac dysfunction, valvular disease, myocardial infarction, cardiac hypertrophy or congestive heart failure; transplant rejection; rheumatoid arthritis; multiple sclerosis; inflammatory bowel disease; graft versus host disease; T-cell mediated hypersensitivity disease; psoriasis; asthma; Hashimoto's thyroiditis; Guillain-Barre syndrome; cancer; contact dermatitis; allergic rhinitis; and ischemic or reperfusion injury. (I) are used for the treatment of alcohol, amphetamines, caffeine, cannabis, cocaine, hallucinogens, inhalants, nicotine, opioids, phencyclidine, sedative-hypnotics, benzodiazepines or other substances abuse. (I) are used for the treatment of rejection due to organ transplant, acute transplant, xenotransplant, heterograft and homograft; protection from ischemic or reperfusion injury; transplantation tolerance induction; rheumatoid arthritis, psoriatic arthritis and osteoarthritis; multiple sclerosis; chronic obstructive pulmonary disease (COPD), emphysema, bronchitis, and acute respiratory distress syndrome (ARDS); inflammatory bowel disease, ulcerative colitis and Crohn's disease; systemic lupus erythematosis; graft versus host disease; contact hypersensitivity, delayed-type hypersensitivity, gluten-sensitive enteropathy and Celiac disease; psoriasis; contact dermatitis; Hashimoto's thyroiditis; Sjoegren's syndrome; autoimmune hyperthyroidism, e.g. Graves' Disease; Addison's disease; autoimmune polyglandular disease or syndrome; autoimmune alopecia; pernicious anemia; vitiligo; autoimmune Hypopituitarism; Guillain-Barre syndrome; other autoimmune diseases; qlomerulonephritis; serum sickness; urticaria; asthma, hayfever, allergic rhinitis and skin allergies; scleracierma; mycosis fungoides; acute inflammatory and respiratory responses, including acute respiratory distress syndrome and ischemia/reperfusion injury; dermatomyositis; alopecia areata; chronic actinic dermatitis; eczema; Behcet's disease; Pustulosis Palmoplantaris; Pyoderma gangrenum; Sezary's syndrome; atopic dermatitis; systemic sclerosis; and morphea. (I) are used for the treatment of arthritis, inflammatory bowel disease, and autoimmune glomerulonephritis. (All claimed)

ADVANTAGE - (I) regulate desires to consume sugars, carbohydrates, alcohol, drugs. (I) provide an enhanced therapeutic effect for the treatment of Parkinson's disease, schizophrenic disorders, cognition-enhancing agents.

L2 ANSWER 10 OF 35 WPIDS COPYRIGHT 2007

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ACCESSION NUMBER:

2005-395778 [40] WPIDS

DOC. NO. CPI:

C2005-122383 [40]

TITLE:

Composition, useful e.g. to treat, prevent or ameliorate

Parkinson's disease, cancer, Alzheimer's disease, schizophrenia, stroke, neuronal degeneration and inflammation, comprises a substituted pyrimidine

derivative

DERWENT CLASS:

B03; D16

INVENTOR:
PATENT ASSIGNEE:

MARTIN R; MOHAN R; ORDENTLICH P (XCEP-N) X-CEPTOR THERAPEUTICS INC

COUNTRY COUNT:

106

PATENT NO KIND DATE WEEK LA PG MAIN IPC WO 2005047268 A2 20050526 (200540)\* EN 117[4]

APPLICATION DETAILS:

PRIORITY APPLN. INFO: US 2003-519030P 20031110

AN 2005-395778 [40] WPIDS

or

AB WO 2005047268 A2 UPAB: 20051222

NOVELTY - Composition (A) comprising a substituted pyrimidine derivative (I), is new.

.DETAILED DESCRIPTION - Composition (A) comprising a substituted pyrimidine derivative of formula (I), is new.

R1 = alkyl, alkenyl, alkynyl, alkoxy, (hetero)aryl, cycloalkyl,
heterocyclyl (all optionally substituted), aminoalkyl, (pseudo)halo, CN,
nitro, hydroxyl, formyl, mercapto or hydroxycarbonyl;
 either:

R2 = (hetero)aryl, cycloalkyl, heterocyclyl, (hetero)aralkyl (all optionally substituted), -OR6, -S(O)tR6, -N(R7)R8, -N(R9)S(O)tR10, -C(O)R6, -C(O)OR6 or -C(O)N(R7)R8; and

R3 = alkyl, alkenyl, alkynyl, (hetero)aryl, cycloalkyl or heterocyclyl (all optionally substituted), H, (pseudo)halo, alkoxy, aminoalkyl, CN, nitro, hydroxyl, formyl, mercapto;

CR2R3 = cycloalkyl ring, heterocyclyl ring or cycloalkenyl ring
(all optionally substituted);

 $R4 = (\text{cyclo}) \, \text{alkyl}, \, \, \text{alkenyl}, \, \, \text{alkynyl}, \, \, \text{cycloalkylalkyl}, \, \, \text{aralkyl}, \, \, \text{heterocyclyl}, \, \, \text{(hetero)aryl}, \, \, \text{heteroaralkyl}, \, \, \text{heterocyclylalkyl} \, \, \, \text{(all optionally substituted)}, \, \, \text{H}, \, \, \text{(pseudo)halo, CN, nitro, hydroxyl, formyl, mercapto, } \, -R12-OR13, \, -R12-N(R14)R15, \, -R12-C(O)R13, \, -R12-C(O)OR15, \, -R12-C(O)N(R14)R15, \, -R12-N(R14)C(O)R15, \, -R12-N(R14)C(O)OR15, \, -R12-S(O)tR15 \, \, \text{or } \, -R12-S(O)tN(R14)R15; \, \, \text{(pseudo)halo, CN, nitro, hydroxyl, formyl, mercapto, } \, \, \text{(pseudo)halo, CN, nitro, hydroxyl, formyl, mercapto, } \, \, \text{(pseudo)halo, CN, nitro, hydroxyl, formyl, mercapto, } \, \, \text{(pseudo)halo, CN, nitro, hydroxyl, formyl, } \, \, \text{(pseudo)halo, CN, nitro, hydroxyl, } \, \text{(pseudo)halo, hydroxyl, } \, \text{(pseudo)halo, hydroxyl, } \, \text{(pseudo)halo, hydroxyl, } \, \text{(pseudo)halo, hydroxyl, } \,$ 

R6, R8, R10, R13, R15 = alkyl, aryl, aralkyl or heterocyclyl (all optionally substituted);

R7, R9, R14 = H or optionally substituted alkyl;

R12 = 1-6C alkyl, 1-6C alkenyl, 1-6C alkynyl or 1-6C alkoxy;

t = 0-2; and

n = 0-5.

INDEPENDENT CLAIMS are also included for:

- (1) altering the activity of a NGFI- family member or its heterodimeric complex comprising the NGFI- family member or its heterodimeric complex with (A) or (I);
- (2) regulating the activity of NGFI-Bbeta/retinoid X receptors (RXR) heterodimers in neuronal cells in culture comprising incubating a stem cell with (A); and
- (3) a pharmaceutical composition comprising (I) or (A) and an additional active compound.

ACTIVITY - Antiparkinsonian; Cytostatic; Neuroprotective; Nootropic; Neuroleptic; Antimanic; Antidepressant; Antiinflammatory; Vulnerary; Cerebroprotective; Vasotropic; Osteopathic; Antiarthritic; Antirheumatic; Antipsoriatic; Antiulcer; Gastrointestinal-Gen.; Antithyroid; Antiarteriosclerotic; Cardiovascular-Gen.; Cardiant; Immunosuppressive.

MECHANISM OF ACTION - NGFI-B family modulator. The ability of (I) to modulate NGFI-B was tested in CV-1 cells using Gal4-chimera - reporter gene screening assay. The results showed that the median effective concentration of (I) was less than 50 microM.

USE - (A) is useful for the treatment, prevention or amelioration of one or more symptoms of a disease or disorder (Parkinson's disease, cancer, Alzheimer's disease, schizophrenia, manic depressive illness, multiple sclerosis, neuronal inflammatory responses, neuronal injury, stroke, neuronal degeneration, inflammation, acute inflammatory reactions, osteoporosis, arthritis, rheumatoid arthritis, psoriatic arthritis, sarcoid arthritis, ulcerative colitis, thyroiditis, atherosclerosis, and atherosclosis related cardiovascular and coronary heart disease) that is modulated by NGFI-B family activity (preferably NGFI-Bbeta or NGFI-Bbeta/retinoid X receptors (RXR) heterodimer activity) or in which NGFI-B family activity is implicated in a patient. (A) is useful for maintaining neuronal cell viability after a transplantation procedure in a donor recipient; treat, prevent or ameliorate multiple sclerosis, coronary heart disease event, a cerebrovascular event and /or intermittent claudication; treat or prevent an inflammatory immune disease (arthritis, rheumatoid arthritis, psoriatic arthritis, infectious arthritis, juvenile rheumatoid arthritis, osteoarthritis or spondyloarthropaties). (All claimed.)

ANSWER 11 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2005-182219 [19] WPIDS

DOC. NO. CPI: TITLE:

C2005-058176 [19]

New pyrazine derivatives are cannabinoid receptor

modulators useful for treating e.g. bulimia, obesity, cardiovascular disease, osteoarthritis, dermatological disorders, insulin resistance, hypercholesterolemia and

sleep disorders

DERWENT CLASS:

B03; B05

INVENTOR:

ELLSWORTH B A; PENDRI A; SUN C; ELLSWORTH B

PATENT ASSIGNEE:

(BRIM-C) BRISTOL-MYERS SQUIBB CO; (ELLS-I) ELLSWORTH B A;

(PEND-I) PENDRI A; (SUNC-I) SUN C

COUNTRY COUNT:

107

# PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
WO 2005016286 US 20050054659	A2 20050224	•	EN EN	74[0]	
EP 1653962	A2 20060510	•	EN		

# APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2005016286 A2	WO 2004-US26599 20040816
US 20050054659 A1 Provisional	US 2003-495807P 20030815
US 20050054659 A1	US 2004-917199 20040812
EP 1653962 A2	EP 2004-781313 20040816
EP 1653962 A2	WO 2004-US26599 20040816

## FILING DETAILS:

PATENT NO	KIND		PATENT	ИО	
<del></del>			<del></del>	,	
EP 1653962	A2	Based on	WO 2005	5016286	Δ

PRIORITY APPLN. INFO: US 2004-917199 20040812 US 2003-495807P 20030815

2005-182219 [19] WPTDS

AB WO 2005016286 A2 UPAB: 20060121

> NOVELTY - Pyrazine derivatives (I) and their salts and stereoisomers are new.

DETAILED DESCRIPTION - Pyrazine derivatives (I) and their salts and stereoisomers are new.

G1, G2 = (hetero)aryl (optionally substituted);

A = CR4R5R6, NR2R3, SR7, S(0)R8, OR9, C(0)NR2R3, S(0)2R8 or optionally substituted heteroaryl;

R1 = H, halo, OH, CN, alkyl or (hetero)aryl; either

R2 = H, (cyclo)alkyl, heterocyclyl, alkoxy, (hetero)aryl, C(O)R10, aminoalkyl, iminoalkyl, S(O)R8 or S(O)2R8 (where the carbon chains in (cyclo)alkyl contains at least one substituent of alkenyl, alkynyl, OH, alkoxyl, arylalkyloxy, heteroaryloxy, heteroarylalkyloxy, alkanoyl, halo, haloalkyl, thio, alkylthio, NO2, CN, COOH, carbonyl, carbalkoyl, carboxamido, aminoaryl, amido, azido, guanidino, amidino, sulfonamido, CF3, OCF2, OCF3, aryloxy or a heteroaryl group that is fused to another aryl or heteroaryl group); and

R3 = H, (cyclo)alkyl, heterocyclyl, alkoxy, (hetero)aryl, C(O)R10, aminoalkyl, iminoalkyl, S(O)R8 or S(O)2R8; or

R2R3 = a heterocycle; or

R2+R3 = a stable 4-8 membered heterocycle containing N and a carbonyl (optionally substituted with (hetero)aryl, alkenyl, alkynyl, OH, alkoxyl, arylalkyloxy, heteroaryloxy, heteroarylalkyloxy, alkanoyl, haloalkyl, thio, alkylthio, NO2, CN, COOH, carbonyl, carbalkoyl, carboxamido, amino, alkylamino, arylamido, heterarylamido, azido, guanidino, amidino or sulfonamido; either

R4-R6=H, alkyl, OH, NR2R3, C(O)NR2R3, C(=N-R2)NR2R3 or heteroaryl; or

R4+R5 = cycloalkyl or heterocyclyl group; or

CR4+R5 = an imine;

R7 = (cyclo)alkyl, heterocyclyl or (hetero)aryl;

R8 = (cyclo)alkyl, aminoalkyl, aminocycloalkyl, aminoheterocyclyl, amino(hetero)aryl, heterocyclyl, aryl or NR2R3;

R9 = (hetero)aryl, (cyclo)alkyl, heterocyclyl or C(O)NR2R3; and R10 = alkyl, (hetero)aryl or alkoxy.

Provided that when one or both of G1 and G2 is phenyl, pyridyl or thienyl, the 3 groups are substituted with aryl or (hetero)aryloxy.

An INDEPENDENT CLAIM is also included for a pharmaceutical combination comprising at least one compound of (I) and a therapeutic agent (anti-obesity agents; appetite suppressants; anti-diabetic agents; anti-hyperlipidemia agents; hypolipidemic agents; hypocholesterolemic agents; lipid-modulating agents; cholesterol-lowering agents; lipid-lowering agents; anti-hypertensive agents; agents used to treat sleep disorders; agents used to treat substance abuse and addictive disorders; anti-anxiety agents; anti-depressants; anti-psychotic agents; cognition enhancing agents; agents used to treat cognitive disorders; agents used to treat Alzheimer's disease; agents used to treat Parkinson's disease; anti-inflammatory agents; agents used to treat neurodegeneration; agents used to treat arteriosclerosis; agents used to treat respiratory conditions; agents used to treat bowel disorders; cardiac glycosides; or anti-tumor agents).

ACTIVITY - Eating-Disorders-Gen.; Anorectic; Vasotropic; Antidiabetic; Antiarteriosclerotic; Hypotensive; Gynecological; Cardiovascular-Gen.; Antiarthritic; Osteopathic; Dermatological; Antilipemic; CNS-Gen.; Endocrine-Gen.; Neuroleptic; Antiaddictive; Antidepressant; Tranquilizer; Antimanic; Nootropic; Neuroprotective; Antiparkinsonian; Cerebroprotective; Vulnerary; Hypertensive; Hemostatic; Anticonvulsant; Respiratory-Gen.; Cardiant; Immunosuppressive; Antirheumatic; Antiinflammatory; Gastrointestinal-Gen.; Antipsoriatic; Antiasthmatic; Thyromimetic; Cytostatic; Antiallergic; Antialcoholic; Antismoking; Antiulcer; Antithyroid; Anabolic; Antianemic; Nephrotropic.

MECHANISM OF ACTION - Cannabinoid receptor-1 modulator.

(I) were tested for their cannabinoid binding activity in Chinese Hamster Ovary cells using cannabinoid receptor binding assay. The results showed that the cannabinoid receptor-1 binding inhibition constant value of 5,6-bis(4-methylphenyl)-2-(phenoxyethylaminocarbonyl)pyrazine was

0.01-1300 nM.

USE - Used for treating bulimia, obesity or any disease resulting in the patient becoming overweight, metabolic disorders, eating disorders and appetitive disorders, including treatment of the conditions associated with those disorders e.g. diabetes, arteriosclerosis, hypertension, polycystic ovary disease, cardiovascular disease, osteoarthritis, dermatological disorders, insulin resistance, hypercholesterolemia, hypertriglyceridemia, cholelithiasis and sleep disorders, hyperlipidemic conditions, crania, Prater-Willie Syndrome, Frolic's Syndrome, Type II diabetes, growth hormone deficiency, Turner's Syndrome and other pathological states characterized by reduced metabolic activity or reduced energy expenditure, psychiatric disorders (depression, anxiety, mania or schizophrenia), improvement of cognitive function and memory impairment e.g. dementia, Alzheimer's disease, short term memory loss and attention deficit disorders; neurodegenerative disorders, Parkinson's disease, cerebral apoplexy and crania trauma; hypotension, hemorrhagic and endotoxin-induced hypotension; Huntington's disease; Pick's disease; Creutzfeld-Jakob disease; head trauma; and age-related cognitive decline, diseases associated with dysfunction of brain dopaminergic systems including Parkinson's Disease and substance abuse disorders, catabolism, substance abuse or dependence disorders, drug or alcohol withdrawal syndromes and substance-induced anxiety or mood disorder with onset during withdrawal, leukocyte activation-associated disorders including rejection due to organ transplant, acute transplant, xenografts, heterograft and homograft; protection from ischemic or reperfusion injury, transplantation tolerance induction; rheumatoid arthritis, psoriatic arthritis and osteoarthritis; multiple sclerosis; chronic obstructive pulmonary disease, emphysema, bronchitis, and acute respiratory distress syndrome; inflammatory bowel disease, ulcerative colitis and Crohn's disease; systemic lupus erythematosis; graft vs. host disease; T-cell mediated hypersensitivity diseases, including contact hypersensitivity, delayed-type hypersensitivity, gluten-sensitive enteropathy and Celiac disease; psoriasis; contact dermatitis; Hashimoto's thyroiditis; Sjorgren's syndrome; autoimmune hyperthyroidism, Addison's disease; autoimmune polyglandular disease or syndrome; autoimmune alopecia; pernicious anemia; vitiligo; autoimmune hypopituatarism; Guillain-Barre syndrome; other autoimmune diseases; glomerulonephritis; serum sickness; urticaria; asthma, hayfever, allergic rhinitis and skin allergies; scleracierma; mycosis fungoides; acute respiratory distress syndrome and ischemia/reperfusion injury; dermatomyositis; alopecia areata; chronic actinic dermatitis; eczema; Behcet's disease; Pustulosis palmoplanteris; Pyoderma gangrenum; Sezary's syndrome; atopic dermatitis; systemic schlerosis or morphea and inflammatory diseases e.g. arthritis, inflammatory bowel disease and autoimmune glomerulonephritis (all claimed.)

ANSWER 12 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN ACCESSION NUMBER: 2005-100092 [11] WPIDS

CROSS REFERENCE:

2005-150105

DOC. NO. CPI:

C2005-033526 [11]

TITLE:

Treatment of diseases associated with G-protein coupled

cannabinoid receptor activity e.g. bulimia, obesity, metabolic disorders, eating disorders and appetitive disorder by administration of tetrahydroquinoline

derivative

DERWENT CLASS:

B02; B05

INVENTOR:

ELLSWORTH B A; EWING W R; GANG W; HUANG Y; SHER P M; SITKOFF D; SULSKY R B; SUN C; WU G; ELLSWORTH B; EWING W;

GERRITZ S; GU Z; MURUGESAN N; PENDRI A; SULSKY R

PATENT ASSIGNEE:

(BRIM-C) BRISTOL-MYERS SQUIBB CO; (EWIN-I) EWING W R; (SHER-I) SHER P M; (SULS-I) SULSKY R B; (SUNC-I) SUN C;

(WUGG-I) WU G

COUNTRY COUNT:

107

## PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
US 20050009870 WO 2005007628 WO 2005007111 EP 1644335 EP 1644370	A1 20050113 A1 20050127 A2 20050127 A1 20060412 A2 20060412	(200511) (200511) (200626)	EN EN EN EN EN	31[0]	····

## APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
US 20050009870 A1 Provisional US 20050009870 A1	US 2003-486774P 20030711 US 2004-889268 20040712
WO 2005007111 A2	WO 2004-US22407 20040712
WO 2005007628 A1	WO 2004-US22408 20040712
EP 1644370 A2	EP 2004-778085 20040712
EP 1644335 A1	EP 2004-778086 20040712
EP 1644335 A1	WO 2004-US22408 20040712
EP 1644370 A2	WO 2004-US22407 20040712

#### FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1644370	A2 Based on	WO 2005007111 A
EP 1644335	Al Based on	WO 2005007628 A

PRIORITY APPLN. INFO: US 2004-889268 20040712 US 2003-486774P 20030711

AN 2005-100092 [11] WPIDS

CR 2005-150105

AB US 20050009870 A1 UPAB: 20060121

NOVELTY - Treatment or prevention of diseases associated with G-protein coupled cannabinoid receptor activity involves administration of tetrahydroquinoline derivative.

DETAILED DESCRIPTION - Treatment or prevention of diseases associated with G-protein coupled cannabinoid receptor activity involves administration of tetrahydroquinoline derivative of formula (I), its salt and stereoisomer.

R1,R3,R4 = H, alkýl, halo or CN;

R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, (hetero)aryl, arylalkyl, heteroarylalkyl, acyl, halo, CF3, CN, nitro, OR11, OCF2H, OCF3, NR12R12a, COOR12 or CONR12R12a;

R5 = alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, COOR13 or CONR13R13a;

R7,R7a = H, alkyl or cycloalkyl;

R9 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, arylalkyl or heteroarylalkyl;

R10 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, (hetero)aryl, arylalkyl or heteroarvlalkyl;

R11 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, arylalkyl, (hetero)aryl or heteroarylalkyl;

R12,R12a,R13,R13a,R15 = R9 or (hetero)aryl;

-R12+R12a, R13+R13a,R10+R15 = cycloalkyl or heterocyclyl;

X = -(CR14R14a) n-;

Y = -S(0)2- or -SO2N(R15)-;

R14, R14a = H or alkyl; n = 0 - 2.

INDEPENDENT CLAIMS are included for the following:

- (1) new tetrahydroquinoline derivative of formula (I'), its salt or stereoisomer; and
- (2) a pharmaceutical composition comprising (I'), carrier or diluent, and a therapeutic agent selected from e.g. anti-obesity agent; anti-diabetic agent; or anti-tumor agent.
- R'2 = alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, heteroaryl, arylalkyl, heteroarylalkyl, acyl, OR'11 or OCF2H; and

R'11 = (hetero)aryl or heteroarylalkyl.

Provided that R5 is neither imidazole nor substituted imidazole; when Y is -S(0)2-, R10 is not a seven-membered lactam; and when Y is -SO2N(R15)-, neither R10 nor R15 is a seven-membered lactam.

ACTIVITY - Eating-Disorders-Gen.; Anorectic; Antidiabetic; Antiarteriosclerotic; Hypotensive; Gynecological; Cardiovascular-Gen.; Osteopathic; Dermatological; Antilipemic; Sedative; Tranquilizer; Endocrine-Gen.; Antiaddictive; Antidepressant; Antimanic; Neuroleptic; Nootropic; Neuroprotective; Antiparkinsonian; Cerebroprotective; Vulnerary; Hypertensive; Hemostatic; Anticonvulsant; Cardiant; Immunosuppressive; Antirheumatic; Antiarthritic; Antiinflammatory; Gastrointestinal-Gen.; Antiallergic; Antipsoriatic; Antiasthmatic; Antithyroid; Thyromimetic; CNS-Gen.; Cytostatic; Vasotropic; Antialcoholic; Antismoking; Hypnotic; Respiratory-Gen.; Antiulcer; Anabolic; Antianemic; Nephrotropic; Antipyretic; Fungicide; Anti-HIV.

MECHANISM OF ACTION - G-protein coupled cannabinoid (CB) receptor (preferably CB-1) modulator. Radioligand binding study was conducted in membranes prepared from Chinese Hamster Ovary (CHO) cells that over-express recombinant human CB-1 (CHO-CB-1 cells). Total assay volume for the binding studies was 100 microl. Membranes (5 ug) were brought up to a final volume of 95 microl with binding Buffer (N-2-hydroxyethylpiperazine-N-2-ethanesulfonic acid (HEPES) (25 mM), NaCl (150 mM), CaCl2 (2.5 mM), MgCl2 (1 mM), 0.25% bovine serum albumin). The diluted membranes were preincubated with N-(1-benzyl-2-oxo-6-(thiophen-3-yl)-1,2,3,4-tetrahydroquinolin-3-yl)-benzenesulfonamide (A). The binding reaction was initiated by the addition of 2 nM final 3H-CP-55940 (120 Ci/mmol) and proceeded for 2.5 hours at room temperature. The binding reaction was terminated and the mixture was worked up. Ki value was determined, which was found to be 0.01 - 4000 nM.

USE - For the treatment or prevention of diseases and disorders associated with G-protein coupled cannabinoid receptor activity in a mammal e.g. bulimia, obesity or any disease resulting in the patient becoming overweight, metabolic disorders, eating disorders, diabetes, arteriosclerosis, hypertension, polycystic ovary disease, cardiovascular disease, osteoarthritis, dermatological disorders, insulin resistance, hypercholesterolemia, hypertriglyceridemia, cholelithiasis, sleep disorders, hyperlipidemic conditions; craniopharyngeoma, Prader-Willi Syndrome, Frohlich's Syndrome, Type II diabetes, growth hormone deficiency, Turner's Syndrome and other pathological states characterized by reduced metabolic activity or reduced energy expenditure; psychiatric disorders selected from substance abuse, addictive disorders, depression, anxiety, mania and schizophrenia; for the improvement of cognitive function and memory impairment, e.g. dementia, Alzheimer's disease, short term memory loss and attention deficit disorders; neurodegenerative disorders, Parkinson's Disease, cerebral apoplexy and craniocerebral trauma; hypotension; Huntington's disease; Pick's disease; Creutzfeld-Jakob disease; head trauma; catabolism in connection with pulmonary dysfunction and ventilator dependency; cardiac dysfunction, valvular disease, myocardial infarction, cardiac hypertrophy or congestive heart failure; transplant rejection; rheumatoid arthritis; multiple sclerosis; inflammatory bowel disease; lupus; graft vs. host disease; T-cell mediated hypersensitivity disease; psoriasis; asthma; Hashimoto's

thyroiditis; Guillain-Barre syndrome; cancer; contact dermatitis; allergic rhinitis; and ischemic or reperfusion injury; substance abuse; leukocyte activation-associated disorders including rejection due to organ transplant; myocardial infarction, stroke or other causes; transplantation tolerance induction; psoriatic arthritis and osteoarthritis; chronic obstructive pulmonary disease (COPD), emphysema, bronchitis, and acute respiratory distress syndrome (ARDS); ulcerative colitis and Crohn's disease; contact hypersensitivity, delayed-type hypersensitivity, gluten-sensitive enteropathy and Celiac disease; contact dermatitis; Sjogren's syndrome; autoimmune hyperthyroidism; autoimmune alopecia; pernicious anemia; vitiligo; autoimmune hypopituatarism; other autoimmune diseases; glomerulonephritis; serum sickness; urticaria; hayfever, allergic rhinitis and skin allergies; mycosis fungoides; dermatomyositis; alopecia greata; chronic actinic dermatitis; eczema; Behcet's disease; Pustulosis palmoplanteris; Pyoderma gangrenum; Sezary's syndrome; atopic dermatitis; systemic sclerosis; and morphea (all claimed). Also useful for treating HIV.

ADVANTAGE - The compounds are potent modulators of G-protein coupled cannabinoid (CB) receptors.

ANSWER 13 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER: CROSS REFERENCE:

2004-525318 [50] WPIDS 2004-478989; 2005-638763

DOC. NO. CPI:

C2004-193260 [50]

TITLE:

Transmucosal Y2 receptor-binding peptide formulation capable of raising concentration of Y2 receptor-binding

peptide in plasma of mammal, useful for producing intranasal medicament for treatment of obesity

DERWENT CLASS:

A96; B04; D16; P34; P42

INVENTOR:

BRANDT G; KLEPPE M S; MACEVILLY C J; QUAY S C; KLEPPE M

PATENT ASSIGNEE:

(NAST-N) NASTECH PHARM CO INC

COUNTRY COUNT: 105

# PATENT INFO ABBR.:

PA	TENT NO	KIN	D DATE	WEEK	LA	PG	MAIN IPC
WO	2004056314	A2	20040708	(200450)*	EN	206[20]	·
US	20040157777	A1	20040812	(200454)	EN		
US	20040209807	A1	20041021	(200470)	EN		
US	20040214772	A1	20041028	(200471)	EN		
ΑU	2003299722	<b>A</b> 1	20040714	(200474)	ĒΝ		•
US	20050002927	<b>A</b> 1	20050106	(200504)	EN		
ΕP	1581245	A2	20051005	(200565)	EN		
NO	2005003430	Α	20050915	(200568)	NO		
BR	2003016685	Α	20051101	(200574)	PT		•
MX	2005006572	A1	20060101	(200637)	ES		
JΡ	2006516262	W	20060629	(200643)	JA	129	
IN	2005001373	· P2	20060609	(200648)	EN		
KR	2005101158	Α	20051020	(200667)	KO		
US	7157426	В2	20070102	(200703)	EN		,
US	7186691	B2	20070306	(200718)	EN		
US	7186692	B2	20070306	(200718)	EN		
US	20070129299	<b>A</b> 1	20070607	(200738)	EN		
US	7229966	В2	20070612	(200740)	EN		

## APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2004056314 A2	WO 2003-US40538 20031217
US 20040157777 A1 CIP of	US 2002-322266 20021217
US 20040209807 A1 CIP of	US 2002-322266 20021217

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US 20040214772 Al CIP of US 2002-322266 20021217 US 20050002927 Al CIP of US 2002-322266 20021217 US 7157426 B2 CIP of US 2002-322266 20021217 US 7186691 B2 CIP of US 2002-322266 20021217 US 7186691 B2 CIP of US 2002-322266 20021217 US 7186691 B2 CIP of US 2002-322266 20021217 US 20040157777 Al Provisional US 2003-493226P 20030807 US 20040209807 Al Provisional US 2003-493226P 20030807 US 20040214772 Al Provisional US 2003-493226P 20030807 US 7186692 B2 Provisional US 2003-493226P 20030807 US 7186691 B2 Provisional US 2003-493226P 20030807 US 200402157777 Al Provisional US 2003-493226P 20030807 US 200402157777 Al Provisional US 2003-493226P 20030807 US 20040214772 Al Provisional US 2003-493226P 20030807 US 20040214772 Al Provisional US 2003-501170P 20030908 US 20040214772 Al Provisional US 2003-501170P 20030908 US 7186691 B2 Provisional US 2003-501170P 20030908 US 7186691 B2 Provisional US 2003-501170P 20030908 US 20040209807 Al Provisional US 2003-501170P 20030908 US 7186691 B2 Provisional US 2003-501170P 20030908 US 7157426 B2 Provisional US 2003-501170P 20030908 US 20040209807 Al Provisional US 2003-501765P 20031008 US 20040209807 Al Provisional US 2003-510765P 20031008 US 20040209807 Al Provisional US 2003-510765P 20031008 US 20040209807 Al Provisional US 2003-517200P 20031104 US 2003-51740 B2 Provisional US 2003-517200P 20031104 US 2003-51802P 20031110 US 2003-51802P 20031104 US 2003-51802P 20031110 US 2003-51802P 20031110 US 2003-51802
        BR 2003016685 A
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        EP 1581245 A2
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        EP 1581245 A2
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        NO 2005003430 A
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        BR 2003016685 A
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WO 2003-US40538 20031217

WO 2003-US40538 20031217

WO 2003-US40538 20031217

US 2003-745069 20031223

US 2003-745069 20031223
        MX 2005006572 A1
                                                                                                                                                                                                    WO 2003-US40538 20031217
        JP 2006516262 W
        IN 2005001373 P2
        KR 2005101158 A
        US 20040157777 A1
        US 20040209807 Al Cont of
        US 20040214772 Al Cont of
        US 20050002927 A1 CIP of
        US 7157426 B2 Cont of
        US 7186692 B2 CIP of
        US 7186691 B2
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US	20070129299 Al Cont of	US 2003-745069 20031223	
US	20040209807 A1	US 2004-768288 20040130	
US	7157426 B2	US 2004-768288 20040130	
US	20070129299 A1 Div Ex	US 2004-768288 20040130	
US	20040214772 A1	US 2004-780325 20040217	
US	20050002927 A1	US 2004-869649 20040616	
US	7186692 B2	US 2004-869649 20040616	
JP	2006516262 W	JP 2005-502646 20031217	
KR	2005101158 A	KR 2005-709727 20050530	
ΜX	2005006572 A1	MX 2005-6572 20050617	
ИО	2005003430 A	NO 2005-3430 20050714	
IN	2005001373 P2	IN 2005-KN1373 20050715	
US	20070129299 A1	US 2006-467509 20060825	
US	7229966 B2 CIP of	US 2002-322266 20021217	
US	7229966 B2 Provisional	US 2003-493226P 20030807	7
US	7229966 B2 Provisional	US 2003-501170P 20030908	В
US	7229966 B2 Provisional	US 2003-510785P 20031010	0
US	7229966 B2 Provisional	US 2003-517290P 20031104	4
US	7229966 B2 Provisional	US 2003-518812P 20031110	C
	7229966 B2 Cont of	US 2003-745069 20031223	
US.	7229966 B2	US 2004-780325 20040217	

## FILING DETAILS:

PA	TENT NO	KII	4D	PA	TENT NO	
AU	2003299722	A1	Based on	WO	2004056314	 А
EP	1581245	A2	Based on .	WO	2004056314	Α
BR	2003016685	Α	Based on	WO	2004056314	Α
MX	2005006572	A1	Based on	WO	2004056314	Α
JP	2006516262	W	Based on	WO	2004056314	Α
KR	2005101158	Α	Based on	WO	2004056314	Α
US	20070129299	A1	Div ex	US	7157426	В
US	20070129299	<b>A</b> 1	CIP of	US	71,66575	В
US	20070129299	A1	Cont of	US	7186691	В
PRIORITY	APPLN. INFO:	US	2003-518812P	2003	31110	
			2002-322266		21217	
	•	US	2003-493226P	2003	30807	
		US	2003-501170P	2003	30908	
		US	2003-510785P	2003	31008	
	•	US	2003-517290P	2003	31104	
		US	2003-510785P	2003	31010	
		WO	2003-US40538	2003	31217	
	•	US	2003-745069	2003	31223	
		US	2004-768288	2004	40130	
		US	2004-869649	2004	10616	
		US	2004-780325	2004	10217	
AN 200	4-525318 [50]	V	VPIDS			

CR 2004-478989; 2005-638763

AB WO 2004056314 A2 UPAB: 20060203

> NOVELTY - A transmucosal Y2 receptor-binding peptide formulation (I) capable of raising the concentration of the Y2 receptor-binding peptide in the plasma of a mammal by at least 5 pmole per liter of plasma or more when a dose containing at least 50 micrograms of the Y2 receptor-binding agonist or when 100 microliters of the formulation is administered transmucosally or intranasally, respectively to the mammal.

> DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for: (1) an intranasal formulation (II) comprised of a PYY peptide capable of raising the concentration of PYY in the plasma of an individual by at least 5 pmole per liter of plasma or more when a dose containing at least 50 micrograms of the PYY is administered intranasally to the individual;

- (2) an aqueous Y2 receptor-binding peptide formulation (III) comprising:
- (a) a Y2 receptor-binding peptide, water and a solubilizing agent, where the formulation is substantially free of a stabilizer that is a polypeptide or a protein;
- (b) Y2 receptor-binding peptide, water, a chelating agent and one or more polyol, where the pH of formulation is 3-6.5;
- (c) a Y2 receptor-binding peptide, water, chelating agent and a solubilizing agent;
- (d) Y2 receptor-binding peptide, water, chelating agent and a surface-active agent;
- (e) water, Y2 receptor-binding peptide, one or more polyol and a surface active agent;
- (f) water, Y2 receptor-binding peptide, one or more polyol and the solubilizing agent;
- (g) water, Y2 receptor-binding peptide, solubilizing agent and the surface-active agent;
- (h) water, Y2 receptor-binding peptide, solubilizing agent, one or more polyol and the surface-active agent;
  - (i) water, Y2 receptor-binding peptide, and a chelating agent; or
- (j) Y2 receptor-binding peptide, and a carrier, where the formulation has 1% higher permeation in an in vitro issue permeation assay than a control formulation consisting of water, sodium chloride, buffer and Y2 receptor-binding peptide; and
- (3) a pharmaceutical formulation (IV) comprising an endotoxin-free Y2-receptor binding peptide suitable for non-infused administration, where the binding peptide is in a sufficient quantity to produce a weight loss of 2.5 pounds after daily administration for at least 10 days.

ACTIVITY - Anorectic; Cytostatic; Anti-diabetic; Neuroprotective; Nootropic; Eating disorders-Gen.

The PYY nasal formulation was prepared by mixing the reagents cholorbutanol, methyl-beta-cyclodextrin, L-alpha-phospharidycholine didecanoyl, edetate disodium, sodium citrate, citric acid, endotoxin-free PYY3-36, and purified water. One or two sprays of the formulation was administered daily to a human subject over 10 day period and a weight loss of 2.5 pounds was recorded. During periods ranging from 10 minutes to 12 hours after administration the subject recorded reduced hunger.

MECHANISM OF ACTION - Y2 receptor-binding agonist (claimed).

USE - (I) is useful for the production of an intranasal medicament for the treatment of obesity or to induce weight-loss in a mammal, where the medicament is capable of raising the concentration of the Y2 receptor-binding peptide in the plasma of a mammal by at least 5 pmole per liter of plasma or more when a dose containing at least 50 micrograms of the Y2 receptor-binding agonist is administered intranasally to the mammal. The medicament further comprises at least one transmucosal delivery agent. The Y2 receptor-agonist is a human sequence and the mammal is a human. (I) is also useful for the production of a medicament comprised of the Y2 receptor-binding peptide, where the Y2 receptor-binding peptide is administered as a spray, where the spray has droplets of size 10-100 microns, and where the spray is able to raise the concentration of the Y2 receptor-binding peptide in the plasma of mammal by at least 5, preferably 40 pmoles per liter when 100 microliters of the spray is administered intranasally to a human. (IV) is also useful for preventing the onset or progression of diabetes, cancer, malnutrition or wasting related to cancer in a mammal, or to alleviate one or more symptoms of obesity, and for treating Alzheimer's disease, colon carcinoma, colon adenocarcinoma, pancreatic carcinoma, pancreatic adenocarcinoma, breast carcinoma.

DESCRIPTION OF DRAWINGS - The figure is a graph representing PYY plasma concentration as pmol/L v.time for five groups of healthy volunteers receiving intranasal PYY (3-36).

ACCESSION NUMBER:

2003-748093 [70] WPIDS

DOC. NO. CPI: DOC. NO. NON-CPI:

C2003-205008 [70]. N2003-599678 [70]

TITLE:

High-throughput spectrophotometric measurement of

membrane permeability and membrane retention of compound involves determining relative concentration of final

donor and acceptor solution by comparing their

spectrophotometric properties

DERWENT CLASS:

A96; B04; B05; S03

INVENTOR:

AVDEEF A; DU C M; NIELSEN P E; DU CHAU M

PATENT ASSIGNEE:

(PION-N) PION INC

COUNTRY COUNT:

28

## PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK LA	PG	MAIN IPC
WO 2003065037		•	50[14]	
US 20030219716	A1 20031127	(200378) EN		
EP 1521962	A2 20050413	(200525) EN		•
US 7022528	B2 20060404	(200624) EN	•	•

## APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2003065037 A2	WO 2003-US2095 20030123
US 20030219716 A1 Provisional	US 2002-353914P 20020131
EP 1521962 A2	EP 2003-713278 20030123
US 20030219716 A1	US 2003-351263 20030123
EP 1521962 A2	WO 2003-US2095 20030123
US 7022528 B2 Provisional	US 2002-353914P 20020131
US 7022528 B2	US 2003-351263 20030123

# FILING DETAILS:

PATENT NO	KIND	PATENT NO
ED 1521962 A2	Based on	WO 2003065037 A

PRIORITY APPLN. INFO: US 2002-353914P 20020131 US 2003-351263 20030123

2003-748093 [70] AN WPIDS

AΒ WO 2003065037 A2 UPAB: 20060120

> NOVELTY - High-throughput spectrophotometric measurement of the membrane permeability and membrane retention of a compound involves determining relative concentration of the final donor and acceptor solution by comparing their measured spectrophotometric properties, and determining the membrane permeability of the compound.

DETAILED DESCRIPTION - High-throughput spectrophotometric measurement of the membrane permeability and membrane retention of a compound involves:

- (a) preparing a sample solution of the compound in an aqueous sample buffer of known pH and separating the sample solution from any precipitate, (the separated solution constitutes a reference solution);
- (b) preparing an initial donor solution of the compound, by placing an aliquot of the reference solution in a donor compartment, (the donor compartment is on one side of a membrane barrier);
- (c) placing an initial acceptor solution in an acceptor compartment; the acceptor compartment is on the second side of the barrier, in which the acceptor solution comprises a buffer of known pH and at least one additive; the additive possess high capacity to bind the compound, low UV absorption, high water solubility and low vapor pressure;

- (d) preparing a donor-blank solution free of the compound, or its composition as the reference solution;
- (e) preparing an acceptor-blank solution of the composition as the initial acceptor solution;
- (f) measuring a spectrophotometric property of the reference, donor-blank and acceptor-blank solutions at the start of the assay;
- (g) measuring a spectrophotometric property of the final donor and final acceptor solutions after at least one half hour from the start of the assay;
- (h) determining the relative concentration of the final donor and acceptor solutions by comparing the measured spectrophotometric property of the final acceptor, final donor, reference, acceptor-blank and donor-blank solutions; and
- (i) determining the membrane permeability of the compound using the equation (I).
- R = membrane retention calculated from the equation 1 -(CD(t)+CA(t).VA/VD)/CD(0);

ra = (VD/VA) (Pe(A)/Pe(D)) (disclosed);

A = area of filter (cm2) (disclosed);

t = time (disclosed);

tss = steady-state time (disclosed);

VA = acceptor volume (cm3) (disclosed);

VD = donor volumes (cm3) (disclosed);

CA(t) = acceptor sample concentration (mol cm-3) at time t;

CD(t) = donor sample concentration (mol cm-3) at time t;

D = permeability in the direction donor-to-acceptor (disclosed);

and

CD(0) = initial donor sample concentration (cm-3) (disclosed).An INDEPENDENT CLAIM is included for a device for measuring membrane permeability of chemical compounds. The device comprises of robotic liquid handling system, microtiter plate scanning UV spectrophotometer, pH titrator device, microtiter plate vacuum filtration manifold, microtiter plate washer, microtiter plate orbital shaker, at least four precision syringe dispensers, at least four dispenser arms positioned by the robot anywhere on the worktable of the liquid handling system, wash station and waste trough, two rack holders for pipet tips (200 micro-1), used-tip collector, stock sample microtiter plate, plastic UV microtiter plate, deep-well microtiter plate for reference aqueous solutions, parallel artificial membrane permeability assay (PAMPA) sandwich containing a stack of two vertically-aligned and contacting microtiter plates with the top plate being a filter microtiter plate and the bottom plate being an ordinary microtiter plate, environmental chamber for the PAMPA sandwich, four test tubes filled with acceptor sink solution, test tube for standardized NaOH titrant, phospholipid holder tube, electrode wash station, titration vessel with a magnetic stir bar and a magnetic stir motor underneath, and test tube for storing the electrode.

USE - For use in compound selection and optimization in pharmaceutical and biotechnology research and development; and for identifying active compounds with right plant distribution properties in agrochemical research and development.

ADVANTAGE - The method does not require knowledge or measurement of the molar absorptivity of the compound relating, and a calibration curve known concentrations of the compound to spectrophotometric properties of the compound. The method quickly and accurately determines membrane retention of a test compound. The pharmaceutical applications using the phospholipid based membrane broader the application e.g. agrochemical field and in general chemical applications related to permeability assessment.

DOC. NO. NON-CPI:

N2003-296527 [35]

TITLE:

Multipurpose kit for screening compounds with low water solubility and assessing biological properties, has composition comprising homogeneous solutions, dispersions

and suspension of at least one common component

DERWENT CLASS:

A89; B04; D16; S03

INVENTOR:

LEIGH M L S; LEIGH S; TIEMESSEN H; VAN HOOGEVEST P

PATENT ASSIGNEE:

(PHAR-N) PHARES PHARM RES NV

COUNTRY COUNT:

# PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
WO 2003023394 AU 2002342680			EN EN	30[0]	

## APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE	
WO 2003023394 A2	WO 2002-EP10241 20020912	_
AU 2002342680 A1	AU 2002-342680 20020912	

## FILING DETAILS:

PATENT NO	KIND		PA.	TENT NO
AU 2002342680	A1	Based on	WO	2003023394 A

PRIORITY APPLN. INFO: EP 2001-307787 20010912

AN 2003-371758 [35] WPIDS

AB WO 2003023394 A2 UPAB: 20050529

NOVELTY - A multipurpose kit (I) for screening compounds with low water solubility and analyzing for physicochemical and biological properties of the compounds, comprising preparing one or more compositions comprising homogeneous solutions, dispersions or suspensions of at least one common component which has the potential and capacity to solubilize, or form molecular associates and/or water or a water-miscible organic solvent, is new.

DETAILED DESCRIPTION - A multipurpose kit (I) for screening compounds with low water solubility and analyzing for physicochemical and biological properties of the compounds which involves preparing one or more compositions comprising homogeneous solutions, dispersions or suspensions of, at least one common component which has the potential and capacity to solubilize, or form molecular associates, water or a water-miscible organic solvent, or their mixtures, and:

- (a) forming a solution, or molecular associates by mixing the compositions with a test material with low water solubility and, optionally after dilution with water, analyzing the physicochemical properties; and/or
- (b) forming a solution, or molecular associates by mixing with a test material with low water solubility and, optionally after dilution with an aqueous medium, adding the compositions to cell models, cell lines or compounds of living organism and analyzing the physicochemical properties, and/or forming a solution, or molecular associated by mixing with a test material with low water solubility and, optionally after dilution with an aqueous medium, administering the composition to living organisms and analyzing the biological properties.

USE - (I) is useful for screening compounds with low water solubility to identify desired physicochemical and biological properties of the compounds, and for mutually identifying test materials and components with desired physicochemical or biological properties, or both.

The kit is useful for in situ, in vitro and/or in vivo tests. (All claimed.) The kit is useful for screening materials for pharmacokinetic and other biological properties for intravenous, intramuscular, subcutaneous, oral, topical or any other route of administration to a living organism.

ADVANTAGE - The kit provides for a particularly suitable, non toxic vehicle to screen for pharmaceutical properties such as bioavailability. The kit bridges the requirements between in vitro, in vivo and tests on living organisms by employing common components in a vehicle to carry out the various tests while maintaining the compound in a mono molecular state. It avoids the need to develop separate and different vehicles to carry out the numerous tests, thus accelerating development timelines and reducing costs. The kit not only simplifies screening but it also helps to select lead compounds, components and compositions more efficiently.

ANSWER 16 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2003-787424 [74]

DOC. NO. CPI:

C2003-217318 [74]

TITLE:

Pharmaceutical composition useful for treating sepsis

comprises 5'-monophosphate ester of riboflavin,

riboflavin, and optionally an excipient

DERWENT CLASS:

A96; B03

INVENTOR:

GROBIN A; HIRD G; LAMBERT B; ONAI K; PULLEN S

PATENT ASSIGNEE:

(GROB-I) GROBIN A; (HIRD-I) HIRD G; (LAMB-I) LAMBERT B;

(ONAI-I) ONAI K; (PULL-I) PULLEN S

COUNTRY COUNT:

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG MAIN IPC US 20030162751 A1 20030828 (200374)\* EN 14[3]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE US 20030162751 A1 US 2001-24877 20011219

PRIORITY APPLN. INFO: US 2001-24877 20011219

2003-787424 [74] WPIDS

AΒ US 20030162751 A1 UPAB: 20050601

> NOVELTY - A pharmaceutical composition comprises 5'-monophosphate ester of riboflavin (FMN) (a), riboflavin (b), and optionally an excipient (c) to solubilize (b).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

- (1) a kit comprising a drug delivery vehicle containing at least two compartments; and a device for combining and delivering the contents of the two compartments. The first compartment comprises (a) and the second compartment comprises a diluent; and
- (2) a pharmaceutical composition comprising (a) and optionally an excipient.

ACTIVITY - Antibacterial; Immunosuppressive.

MECHANISM OF ACTION - None given.

USE - For treating sepsis.

ADVANTAGE - (a) is photostable and hence at higher concentrations it improves the photostability of the composition. The equilibrium solubility of (b) is greater than 70 (preferably 100-2000, especially 200-1500, particularly 300-1000) micro q/ml. The composition provides water soluble and stable form of riboflavin, thus can be administered easily.

L2 ANSWER 17 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-802018 [75] WPIDS DOC. NO. CPI: C2003-221540 [75]

TITLE: Pharmaceutical composition useful for the treatment of

sepsis comprises a solubilized form of riboflavin

A96; B02; B07 DERWENT CLASS: HIRD G; LAMBERT B INVENTOR:

PATENT ASSIGNEE: (HIRD-I) HIRD G; (LAMB-I) LAMBERT B

COUNTRY COUNT:

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG MAIN IPC US 20030161871 A1 20030828 (200375)\* EN 11[2]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE US 20030161871 A1 US 2001-24876 20011219

PRIORITY APPLN. INFO: US 2001-24876 20011219

2003-802018 [75] WPIDS

US 20030161871 A1 UPAB: 20050601

NOVELTY - A composition comprises a solubilized form of riboflavin and optionally a solubilizing agent.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a drug delivery vehicle comprising at least two compartments. The first compartment comprising riboflavin and optionally a solubilizing agent and the second compartment comprising a diluent and/or optionally a solubilizing agent; and a device for combining and delivering the contents of both the compartments.

ACTIVITY - Antibacterial; Immunosuppressive.

No biological details given.

MECHANISM OF ACTION - None given,

USE - As immunopotentiating and infection preventing agents; and for treating sepsis.

ADVANTAGE - The riboflavin has an equilibrium solubility of approximately 70 mcg/ml.

ANSWER 18 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-106493 [11] WPIDS

C2004-043210 [11]

DOC. NO. CPI: TITLE:

Treatment of sepsis involves use of high dosage of

riboflavin or its deriva A96; B02 ARAKI S; KATO A; ONAI K riboflavin or its derivatives

DERWENT CLASS:

INVENTOR:

PATENT ASSIGNEE:

(ARAK-I) ARAKI S; (KATO-I) KATO A; (ONAI-I) ONAI K

COUNTRY COUNT:

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG US 20030143265 A1 20030731 (200411) \* EN 7[0]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE PATENT NO KIND US 2001-25032 20011219

US 20030143265 A1

PRIORITY APPLN. INFO: US 2001-25032 20011219

2004-106493 [11] WPIDS

AΒ US 20030143265 A1 UPAB: 20050528

> NOVELTY - Treatment of sepsis involves administration of a composition comprising riboflavin or its derivatives with a dosage of greater than 1.8 (preferably 1.9 - 40, especially 3 - 20, particularly 5 - 9) mg/kg/day.

ACTIVITY - Antibacterial; Immunosuppressive.

MECHANISM OF ACTION - None given. USE - For treating sepsis (claimed).

ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:613749 CAPLUS

DOCUMENT NUMBER:

140:169388

TITLE:

Enhanced bioavailability of process-induced fast-dissolving ibuprofen cogranulated with

β-cyclodextrin

AUTHOR(S):

Ghorab, Mohamed K.; Adeyeye, Moji Christianah

CORPORATE SOURCE:

Graduate School of Pharmaceutical Sciences, Duquesne

University, Pittsburgh, PA, 15282, USA

SOURCE:

Journal of Pharmaceutical Sciences (2003), 92(8),

1690-1697

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER:

Wiley-Liss, Inc.

DOCUMENT TYPE:

Journal English

LANGUAGE:

The objectives of this study were to evaluate the bioavailability of cogranulated and oven-dried ibuprofen (IBU) and β-cyclodextrin ( $\beta CD$ ), in comparison to a phys. mixture, and to examine the effect of endogenous bile on the bioavailability of the drug. In vitro dissoln. studies were performed using USP type 2 apparatus The granules and phys.

mixture

were administered perorally in a crossover fashion, to male Wistar bile duct-nonligated rats. The granules were also perorally administered to bile duct-ligated rats. Blood samples were taken at different time intervals and the plasma analyzed for IBU. Dissoln. of granules was faster than the phys. mixture due to faster IBU- $\beta$ CD complex formation in solution from the former than the latter. The in vivo study showed that Cmax, AUCO-8, and the absolute bioavailability for the granules (49.0  $\mu$ g/mL, 57.0 h ·  $\mu$ g/mL and 80.6%, resp.) were almost one and half times that of the phys. mixture (32.2  $\mu$ g/mL, 38.4 h · μg/mL and 53.1%, resp.). However, in bile duct-ligated rats, lower Cmax and AUCO-8 (15.9  $\mu$ g/mL and 14.4 h  $\cdot$   $\mu$ g/mL, resp.) were obtained for the granules. Phase solubility study of IBU in an aqueous BCD solution in the presence of the bile salt (sodium cholate), showed an increase in the solubility of IBU. Moreover, the stability constant value for the IBU- $\beta$ CD complex was also found to decrease as the sodium cholate concentration increased. These results indicated that the enhancement in the bioavailability of IBU was due to faster in-solution complex formation, and micellar solubilization by the bile salt.

REFERENCE COUNT:

25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 · ANSWER 20 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2003-229242 [22] WPIDS

DOC. NO. CPI:

C2003-058810 [22]

TITLE:

Pharmaceutical composition useful for treating e.g. pain comprises a local anesthetic agent and a nonliposomal

carrier

DERWENT CLASS:

A96; B05; B07; P34

INVENTOR:

BIRUDARAJ R; CLEARY C J; CLEARY G W; MUDUMBA S;

PARANDOOSH S; PARK P

PATENT ASSIGNEE:

(BIRU-I) BIRUDARAJ R; (CLEA-I) CLEARY C J; (CLEA-I) CLEARY G W; (CORI-N) CORIUM INT; (MUDU-I) MUDUMBA S; COUNTRY COUNT:

# PATENT INFO ABBR.:

PA	TENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
		Al 20021114			38[3]	·
US	20030027833	A1 20030206	(200322)	EN	•	
AU	2002309699	A1 20021118	(200452)	EN		
US	20050152957	A1 20050714	(200547)	EN	•	

# APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2002089849 A1	WO 2002-US14725 20020507
US 20030027833 A1 Provisional	US 2001-289403P 20010507
US 20050152957 Al Provisional	US 2001-289403P 20010507
AU 2002309699 A1	AU 2002-309699 20020507
US 20030027833 A1	US 2002-141496 20020507
US 20050152957 Al Cont of	US 2002-141496 20020507
US 20050152957 A1	US 2005-77593 20050310

#### FILING DETAILS:

PATENT NO	KIND	PATENT NO
AII 2002309699	A1 Based	on WO 2002089849 A

PRIORITY APPLN. INFO: US 2001-289403P 20010507 US 2002-141496 20020507

US 2005-77593 20050310

AN 2003-229242 [22] WPIDS

WO 2002089849 A1 AB UPAB: 20060119

> NOVELTY - A pharmaceutical composition comprises a local anesthetic agent (A) and a nonliposomal carrier (B) selected from monohydric alcohol (B1), a penetration enhancer (B2) or a polymer (B3) selected from hydrophilic polymers and/or hydrophobic polymers.

> DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a drug delivery system in the form of a laminated composite for topical administration of a local anesthetic agent comprising: a drug reservoir layer comprising the composition and a backing layer laminated to the drug reservoir layer that serves as the outer surface of the system following application to a patient's body surface.

ACTIVITY - Analgesic; Antiarthritic; Antiallergic; Antimigraine; Vulnerary; Antipruritic; Virucide.

MECHANISM OF ACTION - None given.

USE - For treating and preventing pain including cold sore, canker sore, gum sore, gum injury, toothache, cough, sore throat, insect bite, muscle pain, arthritis, allergic reaction, rash, itch, blister, sore nail, corn, mechanical puncture, laser treatment, breakthrough pain, migraine, neuropathic pain and anginal pain. The composition is also useful for the treatment of burns, wounds and scrapes.

ADVANTAGE - The composition provides rapid penetration of the active ingredient into the skin and provides rapid onset of local anesthesia within 30 (preferably 10) minutes of after application to a patient's body surface. The local anesthetic activity is provided for at least 4 (preferably 6) hours following topical administration.

ACCESSION NUMBER:

DOC. NO. CPI:

ANSWER 21 OF 35 WPIDS COPYRIGHT 2007 2003-407237 [39] WPIDS

THE THOMSON CORP on STN

C2003-108459 [39]

TITLE:

Manufacturing double coated Lactobacillus raw material using protein and polysaccharide, by processes such as protein enzymatic-decomposition, lactobacillus

fermentation, primary protein coating, and secondary

coating

DERWENT CLASS:

B04; D16

INVENTOR:

CHOO E; CHUNG M J; KIM S; KO U

PATENT ASSIGNEE:

(CHUN-I) CHUNG M; (CHUN-I) CHUNG M J

COUNTRY COUNT:

#### PATENT INFO ABBR.:

PAT	ENT NO	KINI	D DATE	WEEK	LA	PG	MAIN IPC
	2002320473 2002069863			(200339)* (200339)		9[4]	
KR	429495	В	20040503	(200458)	KO		
JΡ	3720780	B2	20051130	(200578)	JA	10	

#### APPLICATION DETAILS:

JP 2002320473 A       JP 2002-54821 20020228         KR 2002069863 A       KR 2001-10397 20010228         KR 429495 B       KR 2001-10397 20010228         JP 3720780 B2       JP 2002-54821 20020228	PATENT NO	KIND	APPLICATION	DATE
01 2002 01021 20020220	KR 2002069863 KR 429495 B	· <del>-</del>	KR 2001-10397 KR 2001-10397	20010228 20010228

#### FILING DETAILS:

PATENT NO	KIND	E	PATENT NO	
				_
JP 3720780 B2	Previous	Publ J	JP 2002320473 A	
KR 429495 B	Previous	Publ K	R 2002069863 A	

PRIORITY APPLN. INFO: KR 2001-10397 20010228

AN 2003-407237 [39] WPIDS

AB JP 2002320473 A UPAB: 20060202

NOVELTY - Manufacturing double coated Lactobacillus raw material, involving isolating protein from soybean and solution of skim milk powder, adding glucose, yeast extract, meat extract, and ion component to liquid, carrying out fermentation process by cultivating Lactobacillus, separating microbial cells, coating cells with freezing protective agent and polysaccharide, and freeze-drying the cells, is new.

DETAILED DESCRIPTION - Manufacturing the double coated Lactobacillus raw material using the protein and polysaccharide, involves isolating protein from soybean and/or 1-10 weight% (weight%) aqueous solution of skim milk powder by performing enzymatic-decomposition with protease to get 0.01-1 weight% of the protein with respect to the total weight of the protein in aqueous solution, adding glucose (1-5 weight%), yeast extract (0.1-1.5 weight%), meat extract (0.1-1.5 weight%), and ion component (0.01-0.1 weight%) to the enzyme treated liquid, carrying out fermentation process by cultivating Lactobacillus after carrying out steam sterilization with a fermentation pipe, separating microbial cells by centrifuging the fermentation liquid, primary coating of cells with 1-10 weight% of freezing protective agent components present in an aqueous solution mixed with 1-10 weight% of polysaccharide components present in polysaccharide aqueous solution with respect to microbial cells, and secondary coating by performing freeze-dry process.

ACTIVITY - Immunostimulant. No biological data given. MECHANISM OF ACTION - None given.

USE - For manufacturing double coated Lactobacillus raw material useful for promoting activation of intestinal-tract motility, harmful

microbe suppression, as a vitamin and an immunostimulation material. ADVANTAGE - The versatility and compatibility of the method is large. The method produces double coated Lactobacillus having improved heat-resistance, shelf life (i.e. the survival rate of the cells is 50-90%), bile-proof property, and delayed intestinal release. The method is rapid, inexpensive, and improves the recovery of a Lactobacillus raw material.

ANSWER 22 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2004-064822 [07] WPIDS

DOC. NO. CPI:

C2004-026801 [07]

DOC. NO. NON-CPI:

N2004-052448 [07]

TITLE:

Ink composition for recording device, contains organic compound and organic pigment having volume average particle diameter from maximum to minimum wavelength of

desired absorption wavelength range in spectrum

DERWENT CLASS:

A97; G02; P75; T04

INVENTOR: PATENT ASSIGNEE: INOUE T; NISHIGAKI S (SHAF-C) SHARP KK

COUNTRY COUNT:

#### PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
JP 2002285058 JP 3891828	A 20021003 B2 20070314	•			

# APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION DATE
JP 200228505	8 A	JP 2001-346465 20011112
JP 3891828 B	2	JP 2001-346465 20011112

## FILING DETAILS:

AB

PATENT NO	KIND	•		PATENT	NO.	
TP 3891828	B2	Provious	Dubi	TD 200'	2295059	71

PRIORITY APPLN. INFO: JP 2001-10437

20010118

2004-064822 [07] WPIDS

> JP 2002285058 A UPAB: 20050528

NOVELTY - An ink composition contains amorphous color organic pigment and organic compound having hydrophilic and hydrophobic portions in the molecule, as main component. The organic pigment has volume average particle diameter of 1/10 of minimum wavelength from 1/4 of maximum wavelength of the desired absorption wavelength range in spectral reflective spectrum.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a recording device has ink composition containing a yellow pigment, a magenta pigment and a cyan pigment.

USE - For recording device (claimed) and record of an inkjet recording system.

ADVANTAGE - The pigment in the ink composition has good adhesion with the fiber of paper and has excellent durability. The ink composition has excellent water-proof, light resistance and fretting resistance, with no spread with respect to the copy-paper record. The storage stability of the ink composition is increased.

ANSWER 23 OF 35 WPIDS COPYRIGHT 2007 2001-581696 [65] ACCESSION NUMBER: WPIDS THE THOMSON CORP on STN

CROSS REFERENCE:

2000-161245

DOC. NO. CPI:

C2001-172382 [65]

TITLE:

Clear aqueous solutions used to treat gastritis and liver disease, comprises bile acid derivative, aqueous soluble starch conversion product or polysaccharide and water

DERWENT CLASS: A96; B05; D21

INVENTOR:

YOO S H

PATENT ASSIGNEE:

(YOOS-I) YOO S H; (YOOS-I) YOO S

COUNTRY COUNT: 93

# PATENT INFO ABBR.:

PAT	TENT NO	KINI	D DATE	WEEK	LA	PG	MAIN IPC .
WO	2001056547	A2	20010809	(200165)*	EN	82[11]	
AU	2001036685	Α	20010814	(200173)	EN	•	
US	20020031558	A1	20020314	(200222)	EN		
ΕP	1255566	A2	20021113	(200282)	EN		•
KR	2002084109	Α	20021104	(200320)	KO		
US	20030186933	A1	20031002	(200365)	EN	•	
CN	1450914	Α	20031022	(200406)	ZH		
JP	2004500378	W	20040108	(200410)	JA	145	•
IN	2002000865	P1	20050121	(200534)	EN		
IN	2002000873	. P1	20050121	(200534)	EN		
BR	2001008080	Α	20060207	(200612)	PT		
RU	2277913	C2	20060620	(200643)	RU		
AU	2001236685	B2	20060518	(200681)	EN		
US	7166299	B2	20070123	(200708)	EN		
AU	2006203315	A1	20060824	(200711)#	EN		
US	20070072828	A1	20070329	(200725)	EN		

# APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2001056547 A2	WO 2001-US3745 20010205
US 20020031558 A1 Provisional	US 1998-94069P 19980724
US 20030186933 Al Provisional	US 1998-94069P 19980724
US 7166299 B2 Provisional	US 1998-94069P 19980724
US 20020031558 A1 CIP of	US 1999-357549 19990720
US 20030186933 A1 Div Ex	US 1999-357549 19990720
US 7166299 B2 CIP of	US 1999-357549 19990720
US 20020031558 Al Provisional	US 2000-180268P 20000204
	US 2000-180268P 20000204
US 7166299 B2 Provisional	US 2000-180268P 20000204
AU 2001036685 A	
AU 2001236685 B2	AU 2001-236685 20010205
AU 2006203315 Al Div Ex	AU 2001-236685 20010205 ·
BR 2001008080 A	BR 2001-8080 20010205
CN 1450914 A	CN 2001-804549 20010205
EP 1255566 A2	EP 2001-908862 20010205
JP 2004500378 W	JP 2001-556239 20010205
US 20020031558 A1	US 2001-778154 20010205
	US 2001-778154 20010205
US 7166299 B2 Div Ex	US 2001-778154 20010205
EP 1255566 A2	WO 2001-US3745 20010205
JP 2004500378 W	WO 2001-US3745 20010205
IN 2002000865 P1	WO 2001-US3745 20010205
	WO 2001-US3745 20010205
BR 2001008080 A	WO 2001-US3745 20010205
RU 2277913 C2	WO 2001-US3745 20010205
	RU 2002-123352 20010205
KR 2002084109 A	KR 2002-709885 20020731

IN	2002000865 P1	·	IN	2002-DN865 20020902
IN	2002000873 P1		IN	2002-DN873 20020904
US	20030186933 A1	•	US	2002-309603 20021204
US	7166299 В2		US	2002-309603 20021204
ΑU	2006203315 A1		AU	2006-203315 20060803
US	20070072828 A1	Provisional	US	1998-94069P 19980724
US	20070072828 A1	CIP of	US	1999-357549 19990720
US	20070072828 A1	Provisional	US	2000-180268P 20000204
US	20070072828 A1	CIP of	US	2001-778154 20010205
US	20070072828 A1	CIP of	US	2004-996945 20041124
US	20070072828 A1		US	2006-522162 20060915

#### FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 20020031558	Al CIP of	US 6251428 B
US 20030186933	Al Div ex	US 6251428 B
US 7166299	B2 CIP of	US 6251428 B
AU 2001036685	A Based on	WO 2001056547 A
EP 1255566	A2 Based on	WO 2001056547 A
JP 2004500378	W Based on	WO 2001056547 A
BR 2001008080	A Based on	WO 2001056547 A
RU 2277913	C2 Based on	WO 2001056547 A
AU 2001236685	B2 Based on	WO 2001056547 A
US 20070072828	Al CIP of	US 6251428 B
PRIORITY APPLN. INFO:	US 2000-180268P	20000204
·	US 1998-94069P	19980724
	US 1999-357549	19990720
	WO 2001-US3745 /	20010205
	US 2001-778154	20010205
•	US 2002-309603	20021204
	AU 2006-203315	20060803
	US 2004-996945	20041124
	US 2006-522162	20060915
AN 2001-581696 [65]	WPIDS	
CR 2000-161245		

AB WO 2001056547 A2 UPAB: 20060117

> NOVELTY - Clear aqueous solutions comprise (a) a bile acid, an aqueous soluble derivative of a bile acid, a bile acid salt and/or a bile acid conjugated with an amine by an amide linkage; (b) an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide; and (c) water, in which (a) and (b) both remain in solution for all pH values of the solution within a selected pH range. DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

- (1) methods for preparing aqueous solutions that form no detectable precipitate at any pH value within a selected range by dissolving a bile acid, bile acid salt or bile acid-amine conjugate in water to form a clear solution, adding at least one aqueous soluble non-starch polysaccharide to the clear solution and allowing it to dissolve to form a clear solution and optionally adding a pharmaceutically effective amount of a pharmaceutical;
- (2) a clear aqueous solution comprising (a) as above; (b') a polysaccharide with at least one reducing end and at least one non-reducing end; and (c) water; and
- (3) a clear aqueous solution comprising (a) as above; (b) as above; (c) water; and (d) an aqueous soluble bismuth compound.

ACTIVITY - Hepatotropic; protozoacide; litholytic; cytostatic; antilipemic; virucide; antiinflammatory; fungicide; antibacterial; antiulcer.

MECHANISM OF ACTION - None given.

USE - The solutions are used to treat gastritis, peptic ulcer

disease, liver disease, gall stones, colorectal adenoma and hyperlipidemia (all claimed). They may be used to treat gastrointestinal disorders including chronic gastritis, reflux gastritis and peptic ulcer disease, liver diseases including alcohol-induced liver diseases, non-alcohol-induced liver diseases including primary biliary cirrhosis, acute and chronic hepatitis, primary sclerosing cholangitis, chronic active hepatitis and excess accumulation of fat in the liver, viral, bacterial and fungal diseases such as to treat and/or eradicate Helicobacter pylori infection, hepatitis C virus infection, influenza A, influenza C, parainfluenza 1, sendai, rubella and pseudorabies virus, and to treat as acute and chronic inflammatory diseases such as bronchitis, chronic pharyngitis and chronic tonsillitis.

ADVANTAGE - The solutions do not precipitate over a range of pH values.

ANSWER 24 OF 35 WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2001-482923 [52] WPIDS

DOC. NO. CPI: TITLE:

C2001-144662 [52]

Freeze dried oral composition useful for the treatment of migraine comprises at least one active substance in a form of a water soluble and water dispersible carrier

material to form an open matrix network

DERWENT CLASS:

A96; B05

INVENTOR:

KHADGAPATHI P; KHADGAPATHI P D; RAO P V; VENKATESWARA RAO

P; VENKATESWARA RAO P M; VENKATESWARA R P

PATENT ASSIGNEE:

(NATC-N) NATCO PHARMA LTD

COUNTRY COUNT:

90

### PATENT INFO ABBR.:

PAT	ENT NO	KINI	DATE	WEEK	LΑ	PG	M	IAIN	IPC	
WO	2001039836	A1	20010607	(200152)*	EN	27[0]			<b>-</b>	_
ΑU	2001020234.	Α	20010612	(200154)	EN		*			
EΡ	1246668	A1	20021009	(200267)	EN					
US	20050084530	<b>A</b> 1	20050421	(200528)	EN	_				
EΡ	1246668	В1	20051130	(200579)	EN					
DE	60024491	E	20060105	(200612)	DE					
DE	60024491	Т2	20.060810	(200654)	DE					

## APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2001039836 A1	WO 2000-IN78 20000825
DE 60024491 E	DE 2000-624491 20000825
EP 1246668 A1	EP 2000-983475 20000825
EP 1246668 B1	EP 2000-983475 20000825
DE 60024491 E	EP 2000-983475 20000825
EP 1246668 A1	WO 2000-IN78 20000825
US 20050084530 A1 Cont of	WO 2000-IN78 20000825
EP 1246668 B1	WO 2000-IN78 20000825
DE 60024491 E	WO 2000-IN78 20000825
AU 2001020234 A US 20050084530 A1 Cont of US 20050084530 A1	AU 2001-20234 20000825 US 2002-148647 20020530 US 2004-984227 20041029
DE 60024491 T2	DE 2000-624491 20000825
DE 60024491 T2	EP 2000-983475 20000825
DE 60024491 T2	WO 2000-IN78 20000825

# FILING DETAILS:

PATENT NO

DE	60024491	E	Based	on	EP	1246668	Α
ΑU	2001020234	Α	Based	on	WO	2001039836	Α
ΕP	1246668	A1	Based	on	MO.	2001039836	A
ĒΡ	1246668	B1	Based	on	WO	2001039836	Α
DΕ	60024491	E	Based	on	WO	2001039836	·A
DE	60024491	T2	Based	on	ΕP	1246668	Α
DE	60024491	T2	Based	on	WO	2001039836	Α

PRIORITY APPLN. INFO: IN 1999-CH1160 19991201

AN 2001-482923 [52] WPIDS

AB WO 2001039836 A1 UPAB: 20060202

NOVELTY - A freeze dried oral composition comprises at least one active substance(s), a water soluble and water dispersible carrier material in an open matrix network, an optional coadministered active substance and/or other excepients.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a process for preparation of a composition comprising: adding active substance to a solution/suspension of the water soluble or water dispersing carrier material to form the open matrix network; optionally adding other additives; transferring the resultant solution/suspension to a mold of the desired shape and a size of a final product; freezing the product in a freeze dryer at -50 - 10degreesC; and re-drying at -40 - 90degreesC under vacuum of 1x10-2 - 7.5x1-1 torr.

ACTIVITY - Antimigraine.

MECHANISM OF ACTION - None given.

USE - The invention is used for the treatment of migraine and migraine associated symptoms (claimed).

ADVANTAGE - The composition has: a rapid onset of action due to the rapid absorption of the active substance through oral mucosa, thus eliminates the need for parenteral administration of the medicament for crisis management; reduced dosage of the drugs as absorption through oral mucosa bypasses the first-pass metabolism and overcomes possible degradation in the gastro-intestinal tract; easy to administer to pediatric and geriatric patients; and as a medicament can be taken without water. Thus it can be administered in a non threatening, painless and simple way. The composition is suitable for patients who have difficulty in swallowing solid doses form.

L2 ANSWER 25 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

2000-161245 [14] WPIDS

CROSS REFERENCE:

2001-581696

DOC. NO. CPI:

C2000-050510 [14]

TITLE:

Aqueous solution comprising a bile acid, soluble derivative, bile acid salt or bile acid conjugated with an amine and a soluble starch conversion product does

precipitate over a wide range of pH

DERWENT CLASS:

B05; D21

INVENTOR:

YOO S H; HONG Y S (YOOS-I) YOO S H

PATENT ASSIGNEE: COUNTRY COUNT:

85

### PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
WO 2000004875 AU 9950819 US 6251428 EP 1113785 BR 9912395 KR 2001074748 CN 1348360	A2 20000203 A 20000214 B1 20010626 A2 20010711 A 20011016 A 20010809 A 20020508	(200029) (200138) (200140) (200170) (200211)	EN EN EN EN PT KO ZH	45[0]	

JP	2002522357	W	20020723	(200263)	JA	47
ΑU	758679	В	20030327	(200330)	EN	
RU	2224523	C2	20040227	(200425)	RU	
ΕP	1113785	В1	20050413	(200525)	EN	
DE	69924740	E	20050519	(200535)	DE	
US	20050158408	A1	20050721	(200548.)	EN	
DE	69924740	Т2	20050901	(200559)	DE	
ES	2238843	Т3	20050901	(200561)	ES	
CN	1205922	С	20050615	(200643)	ZH	
IL	140986	Α	20060801	(200670)	EN	
KR	524358	В	20051026	(200680)	KO	

# APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 200004875 A2	WO 1999-HS12840 19990720
US 6231426 BI Provisional	US 1998-94069P 19980/24
US 20050158408 Al Provisional	
AU 9950819 A	AU 1999-50819 19990720
AU 758679 B	AU 1999-50819 19990720 BR 1999-12395 19990720 CN 1999-810147 19990720 CN 1999-810147 19990720 DE 1999-624740 19990720 DE 1999-624740 19990720 EP 1999-935313 19990720 EP 1999-935313 19990720 EP 1999-935313 19990720 EP 1999-935313 19990720 EP 1999-357549 19990720 US 1999-357549 19990720 US 1999-357549 19990720 WO 1999-US12840 19990720
BR 9912395 A	BR 1999-12395 19990720
CN 1348360 A	CN 1999-810147 19990720
CN 1205922 C	CN 1999-810147 19990720
DE 69924740 E	DE 1999-624740 19990720
DE 69924740 T2	DE 1999-624740 19990720
EP 1113785 A2	EP 1999-935313 19990720
EP 1113785 B1	EP 1999-935313 19990720
DE 69924740 E	EP 1999-935313 19990720
DE 69924740 T2	EP 1999-935313 19990720
ES 2238843 T3	EP 1999-935313 19990720
IL 140986 A	IL 1999-140986 19990720
US 6251428 B1	US 1999-357549 19990720
US 6251428 B1 US 20050158408 A1 CIP of EP 1113785 A2 BR 9912395 A JP 2002522357 W RU 2224523 C2 EP 1113785 B1 DE 69924740 E DE 69924740 T2	US 1999-357549 19990720
EP 1113785 A2	WO 1999-US12840 19990720
BR 9912395 A	WO 1999-US12840 19990720
JP 2002522357 W	WO 1999-US12840 19990720
RU 2224523 C2	WO 1999-US12840 19990720
EP 1113785 B1	WO 1999-US12840 19990720
DE 69924740 E	WO 1999-US12840 19990720
DE 69924740 T2	WO 1999-US12840 19990720
JP 2002522357 W	JP 2000-560868 19990720
RU 2224523 C2	RU 2001-105906 19990720
KR 20010/4/48 A	KR 2001-701037 20010122
US 20050158408 AI CIP of	US 2001-778154 20010205
RU 2224523 C2 KR 2001074748 A US 20050158408 A1 CIP of US 20050158408 A1 KR 524358 B	US 2004-996945 20041124
021000 B	1555 OB12040 15550720
KR 524358 B	KR 2001-701037 20010122

# FILING DETAILS:

PATENT NO	KIND		PATENT NO	
AU 758679 DE 69924740 DE 69924740 ES 2238843 US 20050158408 AU 9950819 EP 1113785	B E T2 T3 A1 A	Previous Publ Based on Based on CIP of Based on Based on	AU 9950819 EP 1113785 EP 1113785 EP 1113785 US 6251428 WO 2000004875 WO 2000004875	 A A A B A
BR 9912395 JP 2002522357	A W	Based on Based on	WO 2000004875 WO 2000004875	A A
AU 758679	В	Based on	WO 2000004875	A

DII	2224523	<b>~</b>	D = = = -1 =	570	0000004075	_
RU	2224523	C2	Based on	WO	2000004875	Α
EΡ	1113785	B1	Based on	WO	2000004875	Α
DE	69924740	E	Based on	WO	2000004875	Α
DE	69924740	T2	Based on	WO	2000004875	Α
$_{ m IL}$	140986	Α	Based on	. WO	2000004875	Α
KR	524358	В	Previous P	ubl KR	2001074748	Α
KR	524358	В	Based on	WO	2000004875	Α

PRIORITY APPLN. INFO: US 1998-94069P 19980724

US 1999-357549 19990720 US 2001-778154 20010205

US 2004-996945 20041124

AN 2000-161245 [14] WPIDS

CR 2001-581696

AB WO 2000004875 A2 UPAB: 20060116

NOVELTY - An aqueous solution comprising:

- (a) a bile acid, soluble derivative, bile acid salt or bile acid conjugated with an amine;
- (b) a high molecular weight aqueous soluble starch conversion product; and
  - (c) water is new.

The solution does not form a precipitate at any pH within a selected range.

 $\,$  DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a method of preparing the solution.

USE - The solution is useful orally, as an enema, mouthwash or gargle, for nasal or otic administration or as an injection, douche, topical skin preparation or cosmetic preparation.

ADVANTAGE - The solution prevents precipitation of the bile acid and promotes rapid and complete absorption.

L2 ANSWER 26 OF 35

WPIDS COPYRIGHT 2007

THE THOMSON CORP on STN

ACCESSION NUMBER:

2000-476842 [42]

DOC. NO. CPI:

C2000-143392 [42]

TITLE:

Process for preparing medical particles Qingkailing by

wrapping chololic acid and animal extract with

WPIDS .

cyclodextrin

DERWENT CLASS:

B04

INVENTOR:

GUAN Q; WANG X

PATENT ASSIGNEE:

(HARB-N) HARBIN YIZHOU PHARM CO LTD YUANDA PHARM;

(YIZH-N) YIZHOU PHARM CO LTD CHINA FOREIGN JOINT

COUNTRY COUNT:

### PATENT INFO ABBR.:

PATENT NO	KIND DATE		. PG	MAIN IPC
CN 1247078 CN 1101184	A 20000315	(200042)* ZH	[0]	

# APPLICATION DETAILS:

PA	TENT NO	KIND	APP	LICATION	DATE
				<del></del>	
CN	1247078 A		CN	1999-112844	19990412

PRIORITY APPLN. INFO: CN 1999-112844 19990412

AN 2000-476842 [42] WPIDS

AB CN 1247078 A UPAB: 20060116

Granular medicine 'Qingkailing' is prepared through adding bile acid to alcohol, regulating pH value to 9 with sodium hydroxide, dissolving, adding betacyclodextrin to obtain coating material, hydrolyzing buffalo horn and nacre, concentrating to obtain

extract, adding the coating material, the extracts of capejasmine fruit, isatis root and honeysuckle flower and astragalin, granulating and drying. Its advantages are high biologic utilization rate and good taste.

L2 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:105252 CAPLUS

DOCUMENT NUMBER: 126:114493

TITLE: Response to Commentary on "Hydroxypropyl

Cyclodextrins: Potential Synergism with Carcinogens"

AUTHOR(S): Pitha, Josef

CORPORATE SOURCE: Baltimore, MD, 21224, USA

SOURCE: Journal of Pharmaceutical Sciences (1997), 86(3),

403-404

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB A polemic in response to H. Van Cauteren et al. (ibid. 1997).

Hydroxypropyl β- cyclodextrin solubilize toxicants

and make them available for absorption by a mechanism which is addnl. to

bile-assisted absorption and occurs before entry of bile

into the gastrointestinal tract. Other misunderstandings were also cited.

L2 ANSWER 28 OF 35 MEDLINE on STN DUPLICATE 2.

ACCESSION NUMBER: 96402452 MEDLINE DOCUMENT NUMBER: PubMed ID: 8926593

TITLE: Hydroxypropyl cyclodextrins: potential synergism with

carcinogens.

AUTHOR: Horsky J; Pitha J

CORPORATE SOURCE: National Institutes of Health, NIA/GRC, Baltimore, MD

21224, USA.

SOURCE: Journal of pharmaceutical sciences, (1996 Jan) Vol. 85, No.

1, pp. 96-100.

Journal code: 2985195R. ISSN: 0022-3549.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199610

ENTRY DATE: Entered STN: 19 Dec 1996

Last Updated on STN: 6 Feb 1998 Entered Medline: 25 Oct 1996

AB The solubility of the lipophilic carcinogens benzo[a]pyrene and aflatoxin B1 in water increases linearly and substantially with the concentration of hydroxypropyl beta-cyclodextrin present. Results of a kinetic study of naphthalene, a model for more potent carcinogens, indicate that the increase in the dissolution rate and in the transport through the aqueous phase into a nonpolar phase is on the same order of magnitude as the increase in solubility. Consequently, hydroxypropyl beta-cyclodextrin, when used in pharmaceutical formulations, has the potential to increase the absorption of carcinogens which enter the gastrointestinal tract either as food components or from air pollution through saliva. above mechanism's simple proportionality needs be considered for estimating the increases in carcinogen absorption in the upper gastrointestinal tract and in the colon. In the presence of bile, however, additional factors are involved and the proportionality does not apply. Bile micelles, which themselves are effective solubilizers of lipophilic carcinogens, were disrupted by hydroxypropyl beta-cyclodextrin because of the formation of complexes with bile salts. Thus, in the presence of bile, two systems for delivery of carcinogens may coexist: that of cotransport with lipids and that of delivery through solubilization by hydroxypropyl beta-cyclodextrin.

ANSWER 29 OF 35 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on DUPLICATE 3

STN

ACCESSION NUMBER: 1995:218173 BIOSIS DOCUMENT NUMBER: PREV199598232473

TITLE: The cholesterol lowering effect of steroid sequestrants is

modulated by large intestine fermentations.

AUTHOR(S): Moundras, Corinne [Reprint author]; Demigne, Christian;

Mazur, Andrzej; Remesy, Christian

Laboratorie des Maladies Metaboliques, I.N.R.A. de CORPORATE SOURCE:

Clemont-Ferrand/Theix, 63122 St. Genes-Champanelle, France Journal of Nutritional Biochemistry, (1995) Vol. 6, No. 3,

pp. 158-162.

CODEN: JNBIEL. ISSN: 0955-2863.

DOCUMENT TYPE: Article LANGUAGE: English

SOURCE:

ENTRY DATE: Entered STN: 31 May 1995

Last Updated on STN: 1 Jun 1995

The cholesterol lowering effect of steroid sequestering compounds, such as cholestyramine or beta-cyclodextrin, has been examined to assess the respective importance of bile acids excretion and the fermentation process. In contrast to cholestyramine, beta-cyclodextrin is metabolized by the large intestine microflora yielding short chain fatty acids (SCFA), especially propionic acid which is absorbed in the portal vein and metabolized by the liver. beta-cyclodextrin was less potent than cholestyramine at elevating the fecal excretion of bile acids and depressing soluble bile acids in the large intestine but only the former compound was definitely hypocholesterolemic. Changes in circulating lipoproteins (depressed HDL1 and apoE abundance) were observed only in the beta-cyclodextrin-fed group. Cholestyramine was more potent than beta-cyclodextrin to induce the activity of hepatic HMG CoA reductase or cholesterol 7-alpha-hydroxylase, whereas that of fatty acid synthase (FAS) was depressed only in the beta-cyclodextrin group. It appears that fermentable bile acid sequestrants are the most effective at depressing plasma cholesterol, probably in relation to the capacity of fermentation end-products to counteract the up- regulation of bile acids and cholesterol biosynthesis.

L2 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:68886 CAPLUS

DOCUMENT NUMBER: 120:68886

TITLE: Safety of oral cyclodextrins: Effects of

> (hydroxypropyl)cyclodextrins, cyclodextrin sulfates and cationic cyclodextrins on steroid balance in rats Gerloczy, Andrea; Hoshino, Teruhiko; Pitha, Josef

AUTHOR(S):

CORPORATE SOURCE: Cyclolab, Budapest, H1525, Hung.

SOURCE: Journal of Pharmaceutical Sciences (1994), 83(2),

193-6

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal LANGUAGE: English

Derivs. of  $\beta$ -cyclodextrin differing in the length of a hydroxyalkyl substituent [(CH2)2OH, CH2CHOHMe, CH2CHOH(CH2)3Me], or in the elec. charge of the substituents [SO4-, CH2CHOHCH2NMe+] of  $\alpha$ -,  $\beta$ -, and  $\gamma$ -cyclodextrins were compared, individually and in mixts., as solubilizers of cholesterol. The most effective solubilizers were hydroxypropyl derivs. of  $\beta$ -cyclodextrin;  $\beta$ -cyclodextrin sulfate (SO4-) was practically devoid of solubilizing activity. Oral administration of these cyclodextrin derivs., some of which are both nondegradable and effective complexation agents for cholesterol and bile acids, nevertheless did not affect the conversion of [14C] acetic acid to [14C] cholesterol in rat under the same conditions when another bile acid complexation agent, cholestyramine, increased that conversion.

complexation of cholesterol and of bile acids by cyclodextrin derivs., which is a significant and well-defined phenomenon in vitro, seems to have limited importance in terms of excretion of cholesterol from the gastrointestinal tract. It is proposed that various untoward effects observed after chronic large oral doses of (hydroxypropyl)  $\beta$ -cyclodextrin are administered are not caused by an increased excretion of some vital lipophile or enzyme but are probably caused by solubilization and increased absorption of toxic contaminants of the ingested food.

L2 ANSWER 31 OF 35 MEDLINE on STN DUPLICATE 4

ACCESSION NUMBER: 92235577 MEDLINE DOCUMENT NUMBER: PubMed ID: 1569391

TITLE: Bile acid and sterol solubilization in

2-hydroxypropyl-beta-cyclodextrin.

AUTHOR: De Caprio J; Yun J; Javitt N B

CORPORATE SOURCE: Division of Hepatic Diseases, New York University Medical

Center 10016.

CONTRACT NUMBER: DK32995 (NIDDK)

SOURCE: Journal of lipid research, (1992 Mar) Vol. 33, No. 3, pp.

441-3.

Journal code: 0376606. ISSN: 0022-2275.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199205

ENTRY DATE: Entered STN: 12 Jun 1992

Last Updated on STN: 12 Jun 1992 Entered Medline: 28 May 1992

AB The use of 2-hydroxypropyl-beta-cyclodextrin has made it possible to prepare stable aqueous solutions of cholesterol, 26-hydroxycholesterol, 7 alpha-hydroxycholesterol, and monohydroxy bile acids such as lithocholic and 3 beta-hydroxy-5-cholenoic acids. These solutions are suitable for cell culture studies and for parenteral administration to animals.

L2 ANSWER 32 OF 35 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 5

ACCESSION NUMBER: 1991:275842 BIOSIS

DOCUMENT NUMBER: PREV199192008457; BA92:8457

TITLE: A COMPARISON OF CHOLESTYRAMINE AND DIETHYLAMINOETHYL-

DEXTRAN FOR THE TREATMENT OF HYPERLIPIDEMIA AND PRURITUS OF

PRIMARY BILIARY CIRRHOSIS.

AUTHOR(S): ZUIN M [Reprint author]; GRNDINETTI G; CAMISASCA M; BOGA E;

RAVIZZA L; MOLTENI P; ZERMIANI P; PODDA M

CORPORATE SOURCE: DEP INTERN MED, OSPEDALE S PAOLO, VIA DI RUDINI 8, MILANO,

ITALY

SOURCE: Current Therapeutic Research, (1991) Vol. 49, No. 4, pp.

659-665.

CODEN: CTCEA9. ISSN: 0011-393X.

DOCUMENT TYPE: Article

FILE SEGMENT: BA LANGUAGE: ENGLISH

ENTRY DATE: Entered STN: 13 Jun 1991

Last Updated on STN: 13 Aug 1991

AB The aim of our study was to evaluate the effects of pruritus and hyperlipoprotenimeia and the tolerability of diethylaminoethyldextran (DEAE-D), a nonabsorbable, water-soluble bile acid sequestrant resin, in patients with primary biliary cirrhosis (PBC). Thirty patients were randomly allocated to two groups: 15 patients were treated with cholestyramine (8 to 16 gm/day) and 15 with DEAE-D (4 to 6 gm/day) for two months. All patients treated with DEAE-D

completed the trial period, whereas four patients on cholestyramine discontinued the drug because of gastrointestinal complaints during the first week. In these patients DEAE-D was then given without any further side effects. Disappearance of pruritus occurred in 45% of patients who completed the treatment with cholestryamine and in 37% with DEAE-D. Both drugs induced a remarkable decrease in the semiquantitative measure of intensity of pruritus, serum bile acid concentration, and total cholesterol. No change was observed on high-density lipoprotein cholesterol levels. No other significant differences between treatment groups were observed. We conclude that in PBC DEAE-D is as effective as cholestyramine, but is better tolerated. Therefore, its administration should be considered in the treatment of pruritus and hyperlipidemia in patients with chronic cholestasis.

L2 ANSWER 33 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

1987-230750 [33] WPIDS

DOC. NO. CPI:

C1987-097296 [21]

TITLE:

Bile acid mixture for internal use - contains specific

amts. of bile acid and dextrin(s)

DERWENT CLASS:

B04

INVENTOR:

KUNO S; NAKAZAWA S

PATENT ASSIGNEE:

(TANB-C) TOKYO TANABE CO

COUNTRY COUNT:

### PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK I	LA PG	MAIN IPC
JP 62153220		708 (198733)* 3		
JP 04065051	B 199210	016 (199246) J	JA 7	

### APPLICATION DETAILS:

PATENT NO	KIND	APPLICAT	TION	DATE	
JP 62153220 A JP 04065051 B				19851227 19851227	

### FILING DETAILS:

PATENT NO	KIND		PATENT	NO
JP 04065051	R	Based on	JP 621	53220 A

PRIORITY APPLN. INFO: JP 1985-292933 19851227

AN 1987-230750 [33] WPIDS

AB JP 62153220 A UPAB: 20060105

The weight ratio of dextrins to bile acid is more than 30 and dextrins content is less than 35% (W/W). Pref. bile acids are ursodeoxycholic acid and chenodeoxycholic acid. Pref. dextrins are amylodextrin, erythrodextrin and maltodextrin.

When the weight ratio of dextrins to bile acid is less than 30, bile acid is not soluble enough in water and the bitterness masking effect is not shown. When the dextrin content is more than 35%, bile acid is also not soluble enough

USE/ADVANTAGE - By using dextrins bile acid becomes soluble in water and the bitter taste of bile acid disappears. Bile acid is used as a cholagogue.

Member (0002)

ABEQ JP 92065051 B UPAB 20060105

The wt. ratio of dextrins to bile acid is more than 30 and

dextrins content is less than 35% (W/W). Pref. bile acids are ursodeoxycholic acid and chenodeoxycholic acid. Pref. dextrins are amylodextrin, erythrodextrin and maltodextrin. When the wt. ratio of dextrins to bile acid is less than 30, bile acid is not soluble enough in water and the bitterness masking effect is not shown. When the dextrin content is more than 35%, bile acid is also not soluble enough in water.

USE/ADVANTAGE - By using dextrins bile acid becomes soluble in water and the bitter taste of bile acid disappears. Bile acid is used as a cholagogue. (J62153220-A)

ANSWER 34 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER:

1980-22937C [13] WPIDS

TITLE:

Bile acid clathrate cpds. with beta-cyclodextrin - are water soluble and used to prepare injectable cholagogic

compsns.

DERWENT CLASS:

B04

INVENTOR:

KAWAGISHI Y

PATENT ASSIGNEE:

(TANB-C) TOKYO TANABE CO

COUNTRY COUNT:

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG MAIN IPC

JP 55022616 A 19800218 (198013)\* JA

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE JP 55022616 A JP 1978-94600 19780804

PRIORITY APPLN. INFO: JP 1978-94600 19780804

1980-22937C [13] WPIDS

JP 55022616 A UPAB: 20060103

Clathrate cpds. of bite acid with beta-cyclodextrin are new. The bile acid may be cholic acid, dehydrocholic acid, deoxycholic acid, chenodeoxycholic acid or ursodeoxycholic acid.

Bile acid is useful as a cholagogue but the acid has low solubility in water. The present clathrate cpds. are water-soluble and give solns. stable at all pH's. Used to prepare injectable compsns.

ANSWER 35 OF 35 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on L2 STN

ACCESSION NUMBER: 1936:1320 BIOSIS

DOCUMENT NUMBER:

PREV19361000001324; BA10:1324

TITLE: An investigation of the causal agent of bovine

pleuropneumonia.

TANG, F. F.; WEI, H.; McWHIRTER, D. L.; EDGAR, J. AUTHOR(S):

SOURCE: JOUR PATH AND BACT, (1935) Vol. 40, No. 2, pp. 391-406.

DOCUMENT TYPE: Article

FILE SEGMENT:

LANGUAGE:

Unavailable

ENTRY DATE: Entered STN: May 2007

Last Updated on STN: May 2007

AB Cultured on artificial media, the development of freshly isolated strains goes through 5 stages: (1) The resting stage or stage of elementary bodies, consisting of rings, granules and a few diplococ-coid and bacillary bodies; (2) the filamentous stage, consisting of filaments from the dendritic protrusions of the elementary bodies; (3) the stage of ramification, consisting of branching and sub-branching filaments; (4) the stage of chain formation, consisting of chains of various types; (5) the stage of disintegration, in which the chains are broken up into elementary bodies. The virus was bile-soluble, susceptible to ether and capable of fermenting glucose, fructose, maltose, mannose, sucrose, trehalose, and dextrin. Fresh cultures reduced Hb, a property which decreased with age. Goats and a water buffalo were susceptible to experimental inoculation, whereas mice, hamsters, rabbits, rats, guinea-pigs, and cats were not. Serologically no distinction could be made out among the different strains studied. ABSTRACT AUTHORS: Auth. abst

=> d his

(FILE 'HOME' ENTERED AT 10:00:29 ON 19 JUL 2007)

FILE 'MEDLINE, BIOSIS, CAPLUS, SCISEARCH, EMBASE, WPIDS' ENTERED AT 10:01:03 ON 19 JUL 2007

L1 48 BILE (S) (DEXTRIN OR ?DEXTRIN OR DEXTRAN) (S) (SOLUBLE OR SOLUB

L2 35 DUP REM L1 (13 DUPLICATES REMOVED)

E YOO SEO?/AU

L3 15 E1

L4 15 DUP REM L3 (0 DUPLICATES REMOVED)

L5 35 L2 NOT L3

=> d ibib abs 14 1-15

L4 ANSWER 1 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 2007:117611 BIOSIS DOCUMENT NUMBER: PREV200700115853

TITLE: Preparation of aqueous clear solution dosage forms with

bile acids.

AUTHOR(S): Anonymous; Yoo, Seo Hong [Inventor]

CORPORATE SOURCE: Wyckoff, NJ 07481 USA PATENT INFORMATION: US 07166299 20070123

SOURCE: Official Gazette of the United States Patent and Trademark

Office Patents, (JAN 23 2007) CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent LANGUAGE: English

ENTRY DATE: Entered STN: 14 Feb 2007

Last Updated on STN: 14 Feb 2007

Compositions for pharmaceutical and other uses comprising clear aqueous solutions of bile acids which do not form any detectable precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Non-limiting examples of pharmaceutical compounds include insulin, heparin, bismuth compounds, amantadine and rimantadine.

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:359020 CAPLUS

DOCUMENT NUMBER: 146:330827

TITLE: Bile preparations for colorectal disorders

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 24pp., Cont.-in-part of U.S.

Ser. No. 996,945.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007072828	A1	20070329	US 2006-522162		20060915
US 6251428	B1	20010626	US 1999-357549		19990720
US 2002031558	A1	20020314	US 2001-778154		20010205
US 2005158408	A1	20050721	US 2004-996945		20041124
AU 2004325203	A1	20060601	AU 2004-325203		20041124
AU 2006203315	<b>A</b> 1	20060824	AU 2006-203315		20060803
PRIORITY APPLN. INFO.:			US 1998-94069P	P	19980724
			US 1999-357549	A2	19990720
			US 2000-180268P	P	20000204
			US 2001-778154	A2	20010205
			US 2004-996945	A2	20041124
•			AU 2001-36685	A3	20010205
			WO 2004-US39507	Α	20041124

The present disclosure relates to methods and compns. to ameliorate or AΒ treat at least one symptom of colorectal cancer and/or adenomatous polyposis coli (APC). For example, some embodiments of the methods and compns. may reduce recurrence of colorectal adenomas and/or extend the life of a subject having colorectal cancer and/or APC. Some embodiments of the disclosure include maintaining a the total body weight in a subject having colorectal cancer and/or APC. According to some embodiments, a method of the disclosure may include administering a bile acid composition to a subject. A bile acid composition may include, in some embodiments, an aqueous solution that is free or substantially free of ppts. or particles. A aqueous solution may include (1) a bile acid, an aqueous soluble derivative of a bile

bile acid salt, and/or 7-ketolithocholic acid, (2) a carbohydrate, and (3) water. An aqueous composition may further include an alkali.

ANSWER 3 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2006:356896 BIOSIS

PREV200600361912

TITLE:

Preparation of aqueous clear solution dosage forms with

bile acids.

AUTHOR(S):

Yoo, Seo Hong [Inventor] Wyckoff, NJ 07481 USA

CORPORATE SOURCE:

PATENT INFORMATION: US 07018650 20060328

SOURCE:

Official Gazette of the United States Patent and Trademark

Office Patents, (MAR 28 2006) CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

ENTRY DATE:

Entered STN: 19 Jul 2006

Last Updated on STN: 19 Jul 2006

Compositions for pharmaceutical and other uses comprising clear aqueous solutions of bile acids which do not form any detectable precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system.

The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Non-limiting examples of pharmaceutical compounds include insulin, heparin, bismuth compounds, amantadine and rimantadine.

ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN T.4

ACCESSION NUMBER: 2006:437475 CAPLUS

DOCUMENT NUMBER:

144:460856

TITLE:

Methods and compositions using a bile acid and a carbohydrate for reducing neurodegeneration in

amyotrophic lateral sclerosis or other

neurodegenerative disease

INVENTOR(S):

Yoo, Seo Hong

PATENT ASSIGNEE(S):

USA

SOURCE: PCT Int. Appl., 64 pp.

> CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT I	NO.			KIN	D -	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
	2006				A2 A3		2006 2006		,	WO 2	005-1	US39	089		2	0051	031
,	W:	CN, GE,	CO, GH,	CR, GM,	CU, HR,	CZ, HU,	AU, DE, ID,	DK, IL,	DM, IN,	DZ, IS,	EC, JP,	EE, KE,	EG, KG,	ES, KM,	FI, KN,	GB, KP,	GD, KR,
		MZ, SG,	NA, SK,	NG, SL,	NI,	NO, SY,	LT, NZ, TJ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
	RW:	AT, IS, CF,	BE, IT, CG,	BG, LT, CI,	CH, LU, CM,	CY, LV, GA,	CZ, MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
CA	2005; 2585; 2006; APP	KG, 3024! 471 1422	KZ, 52 41	MD,	RU, A1 A1	TJ,	TM 2006 2006	0511 0511	; ( (	AU 20 CA 20 US 20 US 20 US 20	005-3 005-3 005-3 004-0	3024! 2585 2630! 6241! 62842	52 471 87 00P 21P	. 1	2) 2) 2) P 2)	00510 00510 00510 00411 00411	031 031 031 031 101

AΒ The invention discloses clear aqueous solns. of one or more bile acids and either an aqueous soluble starch conversion product or a non-starch polysaccharide. The solns. may be administered to a subject in conjunction with a pharmaceutical compound having a therapeutic effect in subjects with a neurodegenerative disease and/or a motor neuron disease. In some embodiments, the disease is amyotrophic lateral sclerosis.

ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:388376 CAPLUS

DOCUMENT NUMBER:

144:419716

TITLE:

Methods and compositions for reducing toxicity of a

pharmaceutical

INVENTOR(S):

Yoo, Seo Hong

PATENT ASSIGNEE(S):

Yoo, Seo, Hong, USA

SOURCE:

PCT Int. Appl., 47 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
                                            -----
    WO 2006044771
                                20060427
                          A2
                                            WO 2005-US37211
                                                                   20051014
    WO 2006044771
                                20070329
                         АЗ
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
             NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
             SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
    AU 2005295541
                          A1
                                20060427
                                            AU 2005-295541
                                                                   20051014
    CA 2584184
                          A1
                                20060427
                                            CA 2005-2584184
                                                                   20051014
PRIORITY APPLN. INFO .:
                                            US 2004-619199P
                                                                Р
                                                                   20041015
                                            WO 2005-US37211
                                                                W 20051014
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The present disclosure is related to clear aqueous solns. of 1 or more bile acids and either an aqueous soluble starch conversion product or a non-starch. polysaccharide. Solns. of the disclosure may be administered to a subject in conjunction with a pharmaceutical compound having one or more toxic effects. In some embodiments, solns. of the disclosure are administered to a mammal in conjunction with a pharmaceutical compound associated with a peripheral neurotoxicity ( e.g., cisplatin and/or suramin) to reduce or eliminate the neuropathic effect(s). A stock solution of bile acid was prepared by first dissolving UDCA (60 g) in 500 mL NaOH (6.7 g) solution Next, to the resulting clear solution, 375 g maltodextrin was added.

ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:218244 CAPLUS

DOCUMENT NUMBER: 144:267304

TITLE:

Neuroprotective effect of solubilized UDCA in focal

ischemic model

Yoo, Seo Hong

INVENTOR(S):

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent	NO.			KIN	D .	DATE	•		APPL	ICAT	ION 1	NO.		D	ATE	
	2006				A2		2006 2006	0309	,	WO 2	005-	us30	679		. 2	0050	830
<b>W</b> O		ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,									
								DK,									
								IL,									
	•	LC,	LK,	LR,	LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,
		NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
								TR,									
			ZM,													•	•
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
•		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
								GQ,									
								SD,									
					RU,			•	•	·	•	•	•	•	•	,	
AU	2005	2799	61	-	A1		2006	0309		AU 2	005-	2799	61		2	0050	830

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CA 2577268
                          A1
                                20060309
                                            CA 2005-2577268
                                                                   20050830
    US 2006051319
                         A1
                                20060309
                                            US 2005-215701
                                                                   20050830
     EP 1789057
                         A2
                                20070530
                                            EP 2005-792858
                                                                   20050830
            AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
         R:
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
PRIORITY APPLN. INFO.:
                                            US 2004-605566P
                                                                P 20040830
                                            US 2004-629998P
                                                                Р
                                                                   20041122
                                            WO 2005-US30679
                                                                W 20050830
```

AB The disclosure provides compns. and methods for treating, ameliorating, or relieving at least one symptom associated with loss of blood flow to the brain including, without limitation, ischemic stroke. Compns. of the disclosure may comprise a bile acid compound and a carbohydrate, wherein both materials remain in solution for all pH values of the solution within a selected range of pH values. Symptoms may include infarct volume, functional recovery, apoptosis, and/or eNOS expression.

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:853003 CAPLUS

DOCUMENT NUMBER: 145:256208

TITLE: Bile preparations for gastrointestinal disorders

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 16pp., Cont.-in-part of U.S.

Ser. No. 251,137. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	CENT	NO.	•		KIN	D	DATE			APPL	ICAT:	ION I	NO.			ATE	
						-						<del></del>					
US	2006	1885	30		A1		2006	0824	1	US 2	006-	3735	54		2	0060	310
US	2006	0893	31		A1		2006	0427	1	US 2	005-	2511	37		2	0051	014
WO	2007	0440	62		A1		2007	0419	. 1	wo 2	006-1	US89:	25		2	0060	310
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	·NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
•		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM		•								
PRIORIT	Y APP	LN.	INFO	.:					1	US 2	004-	6191	99P		P 2	0041	015

AB The present disclosure relates to methods and compns. to offset, ameliorate and/or alleviate one or more unwanted and/or adverse gastrointestinal effects. For example, in some embodiments, the present disclosure relates to compns. that include a bile acid, a carbohydrate and/or a pharmaceutical compound, wherein the pharmaceutical is associated with an adverse gastrointestinal effect in a subject (e.g., mammal or human). Non-limiting examples of pharmaceutical compds. may include a nonsteroidal anti-inflammatory drug, a gastric irritating drug (e.g., an antibiotic, an adrenal corticoid steroid and an anti-cancer drug) and combinations thereof. The disclosure further relates to methods of ameliorating or eliminating at least one adverse gastrointestinal effects of a composition, comprising administering to a subject an aqueous solution comprising a bile acid

US 2005-251137

A2 20051014

and a carbohydrate. An aqueous solution of solubilized ursodeoxycholic acid

 $(3\alpha-7\beta-\text{dihydroxy}-5\beta-\text{cholanic acid})$  completely blocked gastrointestinal injury such as hemorrhage, ulcer, edema and vacuole by a gastrointestinal irritant, e.g. acidic alc.

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:1109822 CAPLUS

DOCUMENT NUMBER:

145:426054

TITLE:

Clear aqueous solution comprising bile acid and ginseng extract capable of maintaining solution state

throughout whole range of ph value and method for

preparing thereof

INVENTOR(S):

Yoo, Seo Hong

PATENT ASSIGNEE(S):

USA

SOURCE:

Repub. Korean Kongkae Taeho Kongbo, No pp. given

CODEN: KRXXA7

DOCUMENT TYPE:

Patent

LANGUAGE:

Korean

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	•	
		 <b></b>
KR 2006030125 A 2 PRIORITY APPLN. INFO.:		20041004 20041004

AB A clear aqueous solution comprising bile acid and ginseng extract is provided to

allow the bile acid to keep the aqueous solution state at any pH range and show increased steroid effect of the bile acid and main ingredients of the ginseng. The aqueous solution comprises a first material selected from the group

consisting of bile acids, water-soluble derivs. thereof, salts thereof and bile acids amide-bound with amine, wherein the bile acids are alkali metal salts or amine salts, a second material including water-soluble saccharified starch product having a high mol. weight, a third material including water-soluble ginseng extract, and water. The method comprises the steps of:

(a) dissolving at least one material selected from the group consisting of bile acids, water-soluble derivs. thereof, salts thereof and bile acids amide-bound with amine in water to form a clear aqueous solution; (b) dissolving

a saccharified starch product having a high mol. weight in the clear aqueous solution; and (c) after adding water-soluble ginseng extract and water-soluble fiber

to the product obtained from the step (b), adjusting balance by adding water thereto.

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:638534 CAPLUS

DOCUMENT NUMBER:

143:139190

TITLE:

Dried forms of aqueous solubilized bile acid dosage

formulation

INVENTOR(S):

Yoo, Seo Hong

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 37 pp., Cont.-in-part of U.S.

Ser. No. 778,154.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

·LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005158408	A1	20050721	US 2004-996945	20041124

US 6251428	В1	20010626	TIC	1999-357549		10000700
	DT.	20010626	0.5	1999-33/349		19990720
US 2002031558	A1	20020314	US	2001-778154		20010205
AU 2004325203	A1	20060601	ΑU	2004-325203		20041124
US 2007072828	A1	20070329	US	2006-522162		20060915
PRIORITY APPLN. INFO.:	•		US	1998-94069P	P	19980724
			US	1999-357549	A2	19990720
			US	2001-778154	A2	20010205
			US	2000-180268P	P	20000204
			US	2004-996945	A2	20041124
			WO	2004-US39507	Α	20041124

AB Compns. for pharmaceutical and other uses comprising clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution and methods of making such solns. are disclosed. Compns. may comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without

forming a precipitate over a range of all pH values obtainable in an aqueous  $\ensuremath{\mathsf{system}}$ .

The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount Compns. contained bile acids, starch conversion products (e.g. Maltrins) and water.

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 200

2002:185616 CAPLUS

DOCUMENT NUMBER:

136:252482

TITLE:

Preparation of aqueous clear solution dosage forms

with bile acids

INVENTOR(S):

Yoo, Seo Hong

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S.

6,251,428.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE .	APPLICATION NO.	DATE
US 2002031558	A1	20020314	US 2001-778154	20010205
US 6251428 '	B1	20010626	US 1999-357549	19990720
US 2003186933	A1	20031002	US 2002-309603	20021204
US 7166299	B2	20070123		
US 2005158408	A1	20050721	US 2004-996945	20041124
AU 2004325203	A1	20060601	AU 2004-325203	20041124
AU 2006203315	A1	20060824	AU 2006-203315	. 20060803
US 2007072828	A1	20070329	US 2006-522162	20060915
PRIORITY APPLN. INFO.:			US 1998-94069P	P 19980724
			US 1999-357549	A2 19990720
·			US 2000-180268P	P 20000204
			AU 2001-36685	A3 20010205
			US 2001-778154	A3 20010205
•			US 2004-996945	A2 20041124
			WO 2004-US39507	A 20041124

AB Compns. for pharmaceutical and other uses comprise clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch

polysaccharide. The composition remains in solution without forming a precipitate over a

range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition may further contain

a pharmaceutical compound, such as insulin, heparin, bismuth compds., amantadine and rimantadine. For example, solution dosage forms that did not show any precipitation at any pH were prepared containing ursodeoxycholic acid (UDCA) 22

g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.

L4 ANSWER 11 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:417030 BIOSIS DOCUMENT NUMBER: PREV200100417030

TITLE: Preparation of aqueous clear solution dosage forms with

bile acids.

AUTHOR(S): Yoo, Seo Hong [Inventor, Reprint author]
CORPORATE SOURCE: 537 Spencer Dr., Wyckoff, NJ, 07481, USA

PATENT INFORMATION: US 6251428 20010626

SOURCE: Official Gazette of the United States Patent and Trademark

Office Patents, (June 26, 2001) Vol. 1247, No. 4. e-file.

CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent LANGUAGE: English

ENTRY DATE: Entered STN: 29 Aug 2001

Last Updated on STN: 22 Feb 2002

AB Compositions for pharmaceutical and other uses for preparing clear aqueous solutions containing bile acids which do not form precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high molecular weight aqueous soluble starch conversion product. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount.

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:581685 CAPLUS

DOCUMENT NUMBER: 135:157683

TITLE: Preparation of aqueous clear solution dosage forms

with bile acids

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2001056547	A2 20010809	WO 2001-US3745	20010205
WO 2001056547	A3 20020718		
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HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

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                          A1
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    EP 1255566
                          A2
                                20021113
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PRIORITY APPLN. INFO.:
                                            US 2000-180268P
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                                                                 A3 20010205
                                            WO 2001-US3745
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AB Compns. for pharmaceutical and other uses comprising clear aqueous solns. of 'bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution and methods of making such solns. The compns.

of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and a aqueous soluble non-starch polysaccharide. The composition remains in solution without

forming a precipitate over a range of pH values and, according to one embodiment,

remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount Non-limiting examples of pharmaceutical compds. include insulin, heparin, bismuth compds., amantadine and rimantadine. A syrup composition contained ursodeoxycholic acid 20 g, 1N NaOH 60 mL, corn syrup solid 1050 g, Bi citrate 4g, citric acid or lactic acid q.s. and purified water to 1L.

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:84582 CAPLUS

DOCUMENT NUMBER:

132:141949

TITLE:

Preparation of aqueous clear solution dosage forms

with bile acids

INVENTOR(S):

Yoo, Seo Hong

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

NT.

PATENT INFORMATION:

PATENT NO.	KIND DATE		APPLIC		DATE			
WO 200000487	A2 A3	20000203	WO 199		19990720			
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             MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                             US 1998-94069P
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                                                                    19980724
                                             WO 1999-US12840
                                                                 W 19990720
                                                                 A 20041124
                                             WO 2004-US39507
AB
     Compns. for pharmaceutical and other uses for preparing clear agueous solns.
     containing bile acids which do not form ppts. over selected ranges of pH
     values of the aqueous solution and methods of making such solns. are disclosed.
     The compns. of the invention comprise water; a bile acid in the form of a
     bile acid, bile acid salt, or a bile acid conjugated with an amine by an
     amide linkage; and a high mol. weight aqueous soluble starch conversion
product.
     The composition remains in solution without forming a precipitate over a range
of pH
     values and, according to one embodiment, remains in solution all pH values
     obtainable in an aqueous system. The composition, according to some
embodiments,
     may further contain a pharmaceutical compound in a pharmaceutically
     effective amount A pharmaceutical solution which did not show any
precipitation at any
     pH contained 3\alpha-7\beta-dihydroxy-5\beta-cholanic acid 200 mg,
     maltodextrin 5, preservatives q.s., flavoring agent q.s., sweetener q.s.,
     and water q.s. 100 mL.
L4
     ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
                         1997:262326
                                      CAPLUS
DOCUMENT NUMBER:
                         126:238299
TITLE:
                         Preparation and purification of Form I and Form II of
                         ranitidine hydrochloride
INVENTOR(S):
                         Yoo, Seo Hong
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PATENT ASSIGNEE(S):

DOCUMENT TYPE:

SOURCE:

LANGUAGE:

USA

Patent

English

PCT Int. Appl., 44 pp.

CODEN: PIXXD2

PATENT NO.				KIND DATE		APPLICATION NO.					DATE						
WO	WO 9707112			A1 19970227			WO 1996-US13246						19960816				
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AU	713507		В2	19991202													
EP	859768		<b>A</b> 1		19980826 EP 1996-927432				32	19960816							
EP	859768		В1	20030108			·										
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AT	2307	37			T		2003	0115		ΑT	1996-	9274	32		1	9960	816
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										WO	1996-	US13	246	1	W 1	9960	816
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AB A stoichiometric acid moiety transfer reaction for the preparation of an acid salt of an amine compound such as ranitidine is described. The acid moiety transfer reaction provides amine acid salts of high purity and having crystalline structure of uniform size and shape. Thus, treatment of ranitidine free base in a mixture of industrial methylated spirits and EtOAc with 2,5-dimethylpyridine.HCl afforded Form I ranitidine hydrochloride which was free from contamination from Form II.

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:15355 CAPLUS

DOCUMENT NUMBER: 94:15355

TITLE: Studies on the synthesis and antibacterial activity of

PAS-sulfonamide derivatives

AUTHOR(S): Lee, Nam Soon; Lim, Jung Gi; Weon, Jeong Hee;

Yoo, Seo Hong

CORPORATE SOURCE: Coll. Pharm., Sung Kyung Kwan Univ., Seoul, 110, S.

Korea

SOURCE: Yakhak Hoechi (1979), 23(3-4), 159-66

CODEN: YAHOA3; ISSN: 0513-4234

DOCUMENT TYPE: Journal

LANGUAGE: Korean

GI .

$$R^1$$
NHOC NHSO2 NHR2

prepared Amidation of p-ClSO2C6H4NHAc (II) with 3,4-RO(H2NCO)C6H3NH2 gave I (R1 = H, R2 = Ac), which were deacetylated to give I (R1 = H, R2 = H). Amidation of II with 3,4-RO(AcNHCO)C6H3NH2 gave I (R1 = Me, Et; R2 = Ac), which were deacetylated to give I (R1 = Me, Et; R2 = H). I showed bactericidal activity against M. tuberculosis and other bacteria.

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 13, 2007 (20070713/UP).

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